BEST AVAILABLE IMAGES

Defective images within this document are accurate representations of the original documents submitted by the applicant.

Defects in the images may include (but are not limited to):

- BLACK BORDERS
- TEXT CUT OFF AT TOP, BOTTOM OR SIDES
- FADED TEXT
- ILLEGIBLE TEXT
- SKEWED/SLANTED IMAGES
- COLORED PHOTOS
- BLACK OR VERY BLACK AND WHITE DARK PHOTOS
- GRAY SCALE DOCUMENTS

IMAGES ARE BEST AVAILABLE COPY.

As rescanning documents *will not* correct images, please do not report the images to the Image Problem Mailbox.

olanzapine

```
=> d his

     (FILE 'HOME' ENTERED AT 12:45:42 ON 04 NOV 2003)

     FILE 'REGISTRY' ENTERED AT 12:45:55 ON 04 NOV 2003
L1             1 S OLANZAPINE/CN
L2            24 S 132539-06-1/CRN
L3            25 S L1 OR L2

     FILE 'CAPLUS' ENTERED AT 12:48:17 ON 04 NOV 2003
L4           808 S L3
L5        144922 S POLYMO?
L6            34 S L4 AND L5
L7            38 S L3/PREP
L8         91239 S POLYMOR?/IT
L9            30 S L4 AND L8
L10           34 S L6 OR L9
L11           61 S L10 OR L7

     FILE 'STNGUIDE' ENTERED AT 12:54:40 ON 04 NOV 2003

     FILE 'CAPLUS' ENTERED AT 13:12:51 ON 04 NOV 2003
L12           18 S L3 (L) (POLYMORPH? OR ?CRYSTAL?)
L13           61 S L11 OR L12

=> d ibib abs hitstr l13 1-61
```

olanzapine

L13 ANSWER 1 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:678586 CAPLUS
DOCUMENT NUMBER: 139:212360
TITLE: Association of SNPS in the COMT locus and neighboring loci with schizophrenia, bipolar disorder, breast cancer and colorectal cancer
INVENTOR(S): Darvasi, Ariel; Zak, Naomi
PATENT ASSIGNEE(S): IdGene Pharmaceuticals Ltd., Israel
SOURCE: PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070082	A2	20030828	WO 2003-IL140	20030223

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

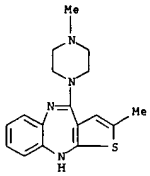
PRIORITY APPLN. INFO.: US 2002-357822P P 20020221
US 2003-437459P P 20030102

AB Methods and kits used for detg. predisposition or diagnosis of schizophrenia, bipolar disorder, breast cancer and colorectal cancer using genotypes in the COMT (catechol-O-methyltransferase) locus are disclosed. Also disclosed are methods and drugs for treating these disorders. Further disclosed are methods and kits useful for prediction drug responsiveness towards mental disorders drugs, and more specifically towards schizophrenia drugs. Population studies on Ashkenazi Jews showed significant correlations between certain SNP genotypes and the risk of schizophrenia, bipolar disorder, breast cancer and colorectal cancer. Differences in risk for certain alleles were seen between men and women. Certain **polymorphisms** were assocd. with a good response to the treatment of schizophrenia with thioridazine.

IT **132539-06-1**, Olanzapine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(COMT genotype and response to; assocn. of SNPS in COMT locus and neighboring loci with schizophrenia, bipolar disorder, breast cancer and colorectal cancer)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 1 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



no US equiv.

L13 ANSWER 2 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:512084 CAPLUS
DOCUMENT NUMBER: 139:74001
TITLE: Preparation of crystalline form I of olanzapine
INVENTOR(S): Chhabada, Vijay Chhangamal; Rehani, Rajeev Budhdev; Thennati, Rajamamannar
PATENT ASSIGNEE(S): Sun Parmaceutical Industries Limited, India
SOURCE: U.S. Pat. Appl. Publ., 6 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003125322	A1	20030703	US 2002-326397	20021223
WO 2003055438	A2	20030710	WO 2002-IN241	20021223
WO 2003055438	A3	20030814		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

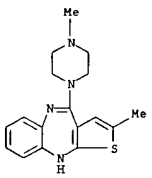
PRIORITY APPLN. INFO.: IN 2001-MU1211 A 20011224

AB Cryst. Form I of olanzapine is characterized by x-ray powder diffraction IR absorbance bands. The compd. has a stable color at ambient conditions of storage and its prepn. comprises at least 2 repetitive steps of crystn. from 1 or more org. solvents by dissolving olanzapine in the solvent and allowing crystn. to occur. In at least 1 step the soln. is purified by treating with a solid adsorbent material and filtering, and in the last step the cryst.material is subjected to drying. Olanzapine along with 0.75 L of abs. ethanol is stirred at 30.degree.. The contents of the flask are gradually heated to 77-78.degree. to obtain a clear soln. and then stirred for 15 mins at 77-78.degree.. Gradually it was allowed to cool to 55-57.degree.. During the process of cooling to 55-57.degree. the soln. is seeded with olanzapine Form I at an interval of every 5.degree. until the seed remains undissolved. The contents are further cooled to 30-34.degree. and then to 10.degree.. The solid product is filtered and washed with chilled abs. alc. and sucked dry. The product is dried under vacuum at 47-50.degree. until const. wt. to obtain 33 g (yield 66% wt./wt.) of Form 1.

IT **132539-06-1P**, Olanzapine
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PUR (Purification or recovery); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; PROC (Process); USES (Uses)
(prepn. of **cryst.** form I of olanzapine)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 2 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



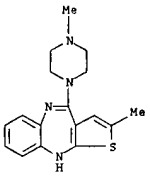
olanzapine

L13 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:501679 CAPLUS
DOCUMENT NUMBER: 139:299379
TITLE: Anhydrates and Hydrates of Olanzapine: Crystallization, Solid-State Characterization, and Structural Relationships
AUTHOR(S): Reutzel-Edens, Susan M.; Bush, Julie K.; Magee, Paula A.; Stephenson, Greg A.; Byrn, Stephen R.
CORPORATE SOURCE: Eli Lilly and Company, Indianapolis, IN, 46285, USA
SOURCE: Crystal Growth & Design (2003), 3(6), 897-907
CODEN: CGDEFU; ISSN: 1528-7483
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Olanzapine, a novel benzodiazepine agent used in the treatment of schizophrenia and related psychoses, crystallizes in 25+ crystal forms, seven of which are pharmaceutically relevant: three anhydrates (I-III), three dihydrates (B, D, and E), and a higher hydrate. X-ray crystal structures of the thermodynamically stable anhyd. form (I), two dihydrates (B and D), a higher hydrate, and a Rietveld-refined structure of dihydrate E have permitted a detailed anal. of the conformational, H bonding, and crystal packing preferences of olanzapine. Crystallog. data are given. The symmetry and H-bonding interactions in the crystal forms also were characterized by 13C and 15N CP/MAS NMR spectroscopy. Using the crystallog. and spectroscopic data, significant structural relations were identified between the crystal forms of olanzapine. The present study demonstrates the utility of integrating crystallog., spectroscopy, and crystal modeling in detailed structural studies of **polymorphism** (and solvate formation) and for rationalizing crystn. outcomes. Also **polymorphism** and hydrate formation can be used to optimize the phys. presentation of pharmaceutical solids.

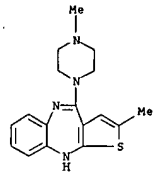
IT **132539-06-1**, Olanzapine **205485-16-1**, Olanzapine dihydrate **585571-52-4**, Olanzapine hydrate (2:5)
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); PROC (Process)
(**crystn.** and **crystal** structure of)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



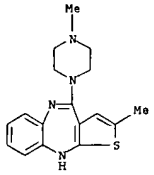
RN 205485-16-1 CAPLUS

L13 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-, dihydrate (9CI) (CA INDEX NAME)



●2 H_2O

RN 585571-52-4 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-, hydrate (2:5) (9CI) (CA INDEX NAME)



●5/2 H_2O

REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:356454 CAPLUS
DOCUMENT NUMBER: 138:358414
TITLE: Olanzapine dihydrate II preparation and use for treating CNS disorders
INVENTOR(S): Cord, Janet I.; Reddy, Reguri Buchi; Ramesh, Chakka
PATENT ASSIGNEE(S): Reddy's Laboratories Ltd., India
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037903	A1	20030508	WO 2002-US34701	20021029

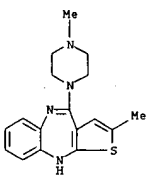
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: IN 2001-MA877 A 20011029

AB The present invention relates to novel dihydrate form of olanzapine (referred to as Olanzapine dihydrate-II), a process for its prepn. and its conversation to Olanzapine Form-II. Olanzapine dihydrate II can be used for treating disorders of the central nervous system.

IT **205485-16-1P**, 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-, dihydrate
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(olanzapine dihydrate II prepn. and use for treating CNS disorders)

RN 205485-16-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-, dihydrate (9CI) (CA INDEX NAME)



●2 H_2O

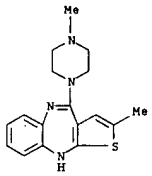
L13 ANSWER 4 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

olanzapine

L13 ANSWER 5 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:215603 CAPLUS
DOCUMENT NUMBER: 139:96625
TITLE: Role of the Smoking-Induced Cytochrome P450 (CYP)1A2 and **Polymorphic** CYP2D6 in Steady-State Concentration of Olanzapine
AUTHOR(S): Carrillo, Juan Antonio; Herraiz, Angustias G.; Ramos, Sara Isabel; Gervasini, Guillermo; Vizcaino, Sonia; Benitez, Julio
CORPORATE SOURCE: Department of Pharmacology and Psychiatry, Extremadura University School of Medicine, Badajoz, Spain
SOURCE: Journal of Clinical Psychopharmacology (2003), 23(2), 119-127
CODEN: JCPYDR; ISSN: 0271-0749
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English
AB This study investigated whether the smoking inducible cytochrome P 450 (CYP) 1A2 and the **polymorphic** CYP2D6 play significant roles in the metab. of olanzapine and its clin. effects at steady-state treatment. Caffeine and debrisoquine were used as measures of CYP1A2 and CYP2D6, resp. After drug therapy for 15 days, the effect of olanzapine on the activities of CYP1A2 and CYP2D6 was also examd. Seventeen psychiatric patients (9 men and 8 women) were orally administered olanzapine, at a mean .+-. std. deviation (SD) dosage of 10 mg/d for all smokers (n = 8) and 7.5 .+-. 2.5 mg/d (range, 5-10 mg) for nonsmokers (n = 9; $p < 0.01$). The plasma concn.-to-dose (C:D) ratio was closely correlated to the CYP1A2 activity (rs = -0.89; $p < 0.0001$). The mean urinary caffeine indexes of nonsmokers and smokers were 17 .+-. 8 and 101 .+-. 44, resp., indicating that smoking had induced a sixfold higher CYP1A2 activity ($p < 0.0001$). Likewise, the olanzapine plasma C:D ratio (ng.cntdot.mL.cntdot.mg) was about fivefold lower in smokers (7.9 .+-. 2.6) than in nonsmokers (1.56 .+-. 1.1; $p < 0.0001$). On day 15 of the antipsychotic therapy, the percentage decrease in Brief Psychiatric Rating Scale (BPRS) total score relative to the predosing score (in the drug-free period) was higher for nonsmokers than for smokers (30.4 .+-. 10% vs. 12.5 .+-. 14%; $p < 0.01$). Six nonsmokers and three smokers experienced side effects with olanzapine. After 15 days of drug treatment, olanzapine had caused significant ($p < 0.0001$) and substantial CYP1A2 inhibition (by 50%) in comparison with predosing values, and such inhibition can contribute to adverse drug interactions. In conclusion, smoking-induced increased CYP1A2 activity significantly diminished plasma olanzapine concns. and the antipsychotic effect of the drug. The performance of a simple caffeine test may assist in individualization of the olanzapine dosage.
IT **132539-06-1**, Olanzapine
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(smoking-induced cytochrome P 450 (CYP)1A2 and **polymorphic** CYP2D6 in steady-state Concn. of olanzapine)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 5 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

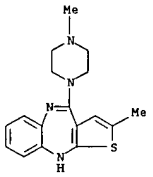
L13 ANSWER 6 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:133492 CAPLUS
DOCUMENT NUMBER: 138:182123
TITLE: **Polymorphisms** in the human gene for cytochrome P 450 CYP1A2 and their use in diagnostic and therapeutic applications
INVENTOR(S): Wojnowski, Leszek; Presecan-Siedel, Elena
PATENT ASSIGNEE(S): Epidauros Biotechnologie AG, Germany
SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003014387	A2	20030220	WO 2002-EP8893	20020808
WO 2003014387	A3	20030925		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2001-118770 A 20010808
AB The present invention relates to a **polymorphic** cytochrome P 450 1A2 polynucleotide (gene CYP1A2). These **polymorphisms** are assocd. with cancer, congenital jaundice, porphyria cutanea tardia, or tardive dyskinesia in schizophrenia. Moreover, the invention relates to genes or vectors comprising the polynucleotides of the invention and to a host cell genetically engineered with the polynucleotide or gene of the invention. Further, the invention relates to methods for producing mol. variant polypeptides or fragments thereof, methods for producing cells capable of expressing a mol. variant polypeptide and to a polypeptide or fragment thereof encoded by the polynucleotide or the gene of the invention or which is obtainable by the method or from the cells produced by the method of the invention. Furthermore, the invention relates to an antibody which binds specifically the polypeptide of the invention. Moreover, the invention relates to a transgenic non-human animal. Methods of identifying a **polymorphism**, identifying and obtaining a prodrug or drug or an inhibitor are also encompassed by the present invention. In addn., the invention relates to methods for producing of a pharmaceutical compn. and to methods of diagnosing a disease. Finally, the invention relates to a diagnostic kit.
IT **132539-06-1**, Olanzapine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**polymorphisms** in the human gene for cytochrome P 450 CYP1A2 and their use in diagnostic and therapeutic applications)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

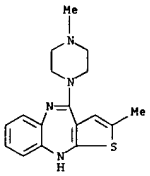
L13 ANSWER 6 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L13 ANSWER 7 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:41261 CAPLUS
DOCUMENT NUMBER: 138:338176
TITLE: Preparation of highly tritiated 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine
INVENTOR(S): Shevchenko, V. P.; Myasoedov, N. F.; Nagaev, I. Yu.; Zozulya, A. A.; Kost, N. V.; Khomyakova, A. V.
PATENT ASSIGNEE(S): Institut Molekulyarnoi Genetiki RAN, Russia
SOURCE: Russ., No pp. given
CODEN: RUXXE7
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

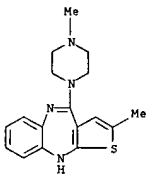
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RU 2185383	C1	20020720	RU 2001-113519	20010522

PRIORITY APPLN. INFO.: RU 2001-113519 20010522
AB The isotopomer, highly tritiated 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine, is prepd. by the tritiation of 2-methyl-4-(4-methyl-1-piperazinyl)-10h-thieno[2,3-b][1,5]benzodiazepine with tritium in the presence of a 5% Pd/BaSO4 catalyst.
IT **132539-06-1DP**, titiation products
RL: PRP (Properties); SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. of highly tritiated 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



L13 ANSWER 8 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:900226 CAPLUS
DOCUMENT NUMBER: 139:127830
TITLE: 5HT2A and 5HT2C Receptor **Polymorphisms** And Predicting Clinical Response to Olanzapine in Schizophrenia
AUTHOR(S): Ellingrod, Vicki L.; Perry, Paul J.; Lund, Brian C.; Bever-Stille, Kristy; Fleming, Frank; Holman, Timothy L.; Miller, Del
CORPORATE SOURCE: College Pharmacy, Dep. Psychiatry, University of Iowa, Iowa City, IA, USA
SOURCE: Journal of Clinical Psychopharmacology (2002), 22(6), 622-624
CODEN: JCPYDR; ISSN: 0271-0749
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The atypical antipsychotics are often the first line treatment of schizophrenia. These agents act by blocking central dopamine and serotonin (5HT) receptors. Pharmacogenetic data suggest that clin. response may be a function of **polymorphisms** including the 5-HT2A and 2C receptors. A total of 5 **polymorphisms** have been identified for the 5HT2A receptor, of which two result in protein alterations (i.e., His452Tyr and Thr25Asn). The T102C **polymorphism** has been assocd. with schizophrenia and antipsychotic response and the His452Tyr **polymorphism** has been assocd. with clozapine poor responders. A **polymorphism** for the 5HT2C receptor results in a cysteine to serine substitution at codon 23. Previous reports conflict regarding this **polymorphism** and clin. response to atypical antipsychotics. Therefore the objective of this open-label study was to investigate the relationship between 5HT2A/2C receptor **polymorphisms** and response to olanzapine, using fixed doses for 6 wk. The results of this study found serum olanzapine concns. to be assocd. with pos. symptom redns., while there was a trend for neg. symptom redn. to be assocd. with a T/T genotype of the 102T/C allele of the 2A receptor gene. Although this **polymorphism** does not result in an amino acid substitution, some authors have suggested that the 102T/C **polymorphism** may be in an almost complete linkage disequil. with the -1438 G/A **polymorphism** found in the 5HT2A promoter region, which may directly affect receptor function. Unfortunately, our anal.. did not det. this **polymorphism**.
IT **132539-06-1**, Olanzapine
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5HT2A and 5HT2C receptor **polymorphisms** and predicting clin. response to olanzapine in schizophrenia)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 8 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

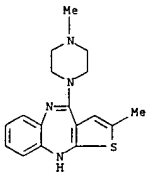


REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 9 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:850326 CAPLUS
DOCUMENT NUMBER: 137:329496
TITLE: Pharmaceutical compositions containing new **polymorphic** forms of olanzapine and uses thereof
INVENTOR(S): Hamied, Yusuf K.; Kankan, Rajendra N.; Rao, Dharmaraj R.
PATENT ASSIGNEE(S): India
SOURCE: U.S. Pat. Appl. Publ., 16 pp., Cont.-in-part of U. S. 6,348,458.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

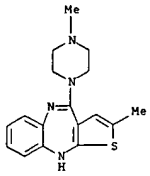
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002165225	A1	20021107	US 2001-26949	20011227
US 6348458	B1	20020219	US 2000-540749	20000331

PRIORITY APPLN. INFO.: IN 1999-BO972 A 19991228
IN 1999-BO977 A 19991228
US 2000-540749 A2 20000331
AB Pharmaceutical compns. contg. form III, form IV, form V olanzapine and/or pharmaceutically acceptable salts thereof. The pharmaceutical compns. are useful for the treatment of psychotic conditions, mild anxiety and gastrointestinal conditions. In particular, the compns. are useful for treating schizophrenia and related disorders, acute mania, bipolar I disorder, psychotic mood disorder and psychosis in patients with Alzheimer's disease.
IT **132539-06-1**, Olanzapine **132539-06-1D**, Olanzapine, salts
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. contg. new **polymorphic** forms of olanzapine and uses thereof)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 9 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L13 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:756927 CAPLUS
DOCUMENT NUMBER: 138:49841
TITLE: Antipsychotic-induced extrapyramidal syndromes and cytochrome P450 2D6 genotype: a case-control study
AUTHOR(S): Schillevoort, Igor; de Boer, Anthonius; van der Weide, Jan; Steijns, Linda S. W.; Roos, Raymund A. C.; Jansen, Paul A. F.; Leufkens, Hubert G. M.
CORPORATE SOURCE: Department of Pharmacoepidemiology & Pharmacotherapy, Utrecht Institute for Pharmaceutical Sciences (UIPS), Utrecht University, Utrecht, Neth.
SOURCE: Pharmacogenetics (2002), 12(3), 235-240
CODEN: PHMCEE; ISSN: 0960-314X
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

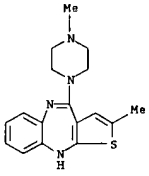
April

AB To study the assocn. between **polymorphism** of the cytochrome P450 2D6 gene (CYP2D6) and the risk of antipsychotic-induced extrapyramidal syndromes, as measured by the use of antiparkinsonian medication. Data for this case-control study were obtained from a psychiatric hospital where newly admitted patients are routinely screened for several CYP2D6 mutant alleles. Cases were patients prescribed antiparkinsonian medication during oral antipsychotic drug treatment in the period Sept. 1994 to August 2000. They were divided into those using an antipsychotic drug the metabolic elimination of which depends on the activity of the CYP2D6 enzyme ('CYP2D6-dependent') and those using other antipsychotic drugs. We formed a control group of antipsychotic drug users for both case groups using a matching ratio of 3:1 (controls:cases). Control patients were matched on whether or not their prescribed antipsychotic drug was CYP2D6-dependent. Odds ratios for patients who were slow metabolizers vs. patients who were extensive metabolizers were calcd. using conditional logistic regression and were adjusted for age, gender, dose and other potential confounding factors. We identified 77 case patients who were prescribed a CYP2D6-dependent antipsychotic drug and 54 case patients who were prescribed non CYP2D6-dependent antipsychotic drugs. Among the case- and control-patients using a CYP2D6-dependent antipsychotic drug, the poor metabolizers were more than four times more likely to start with antiparkinsonian medication than the extensive metabolizers (odds ratio 4.44; 95% confidence interval 1.11-17.68). An increased risk was not obsd. for patients using non CYP2D6-dependent antipsychotic drugs (odds ratio 1.20; 95% confidence interval 0.21-6.79). Genetically impaired CYP2D6 activity can increase the risk of antipsychotic-induced extrapyrimidal syndromes. Poor metabolizers should have their antipsychotic drug dosage reduced when the metab. of the prescribed drug depends on CYP2D6 activity or should receive an antipsychotic drug that is not CYP2D6-dependent.

IT **132539-06-1**, Olanzapine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cytochrome P450 2D6 gene **polymorphism** in relation to risk of antipsychotic-induced extrapyramidal syndromes via use of antiparkinsonian medication)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 11 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:594852 CAPLUS
DOCUMENT NUMBER: 137:145611
TITLE: Crystal forms of olanzapine
INVENTOR(S): Davies, Julian; Gano, James Edward
PATENT ASSIGNEE(S): Geneva Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 10 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060906	A2	20020808	WO 2001-US50627	20011220
WO 2002060906	A3	20030123		

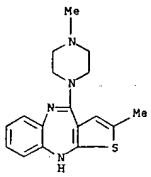
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-259261P P 20010104

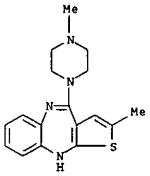
AB A novel crystal form of the drug, olanzapine, processes for its prepn. and its pharmaceutical uses are disclosed. Olanzapine was dissolved in acetone-water soln. and the solvent was concd. After filtration, the ppt. composed of yellow crystals was dried and the m.p. was 189-190.degree..

IT **132539-06-1**, Olanzapine
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**crystal** forms of olanzapine)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



L13 ANSWER 12 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:505484 CAPLUS
DOCUMENT NUMBER: 138:82858
TITLE: Increased assay robustness and throughput using automated 96-well solid phase extraction
AUTHOR(S): Das, S.; Fisher, E.; Grever, T.; Burras, B.; Freiser, H.
CORPORATE SOURCE: BAS Analytics Bioanalytical Systems, Inc., West Lafayette, IN, 47906, USA
SOURCE: Current Separations (2002), 20(1), 7-9
CODEN: CUSEEW; ISSN: 0891-0006
PUBLISHER: Bioanalytical Systems, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Previously, a reversed-phase liq. chromatog. method with electrochem. detection was developed to quantitate olanzapine in human plasma. To improve the extn. reproducibility and increase the sample throughput, the method was automated using the 96-well solid phase extn. formal on a TomTec Quadra 96. The resulting assay drastically reduced the sample prepn. time, while maintaining excellent accuracy and precision.
IT **132539-06-1P**, Olanzapine
RL: ANT (Analyte); PUR (Purification or recovery); ANST (Analytical study); **PREP (Preparation)**
(increased assay robustness and throughput using automated 96-well solid phase extn.)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

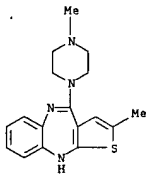


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 13 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:505442 CAPLUS
DOCUMENT NUMBER: 137:63269
TITLE: Process for the preparation of a new crystal modification of the antipsychotic olanzapine by crystallization from an aqueous aliphatic lower ketone solvent
INVENTOR(S): Davies, Julian; Gano, James Edward
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 5 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002086993	A1	20020704	US 2001-24934	20011219

PRIORITY APPLN. INFO.: US 2001-259621P P 20010104
AB A novel crystal modification of the antipsychotic olanzapine, having a specified X-ray diffraction pattern and a m.p. in the range of 189-190.degree., is prepd. by crystg. olanzapine from an aq. crystn. soln. of a lower aliph. ketone (e.g., acetone).
IT **132539-06-1**, Olanzapine
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); PROC (Process)
(process for the prepn. of a new **crystal** modification of the antipsychotic olanzapine by **crystn.** from an aq. aliph. lower ketone solvent)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

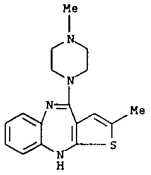


L13 ANSWER 14 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:171904 CAPLUS
DOCUMENT NUMBER: 136:221739
TITLE: Process for preparation of hydrates of olanzapine and their conversion into crystalline forms of olanzapine
INVENTOR(S): Koprowski, Robert; Reguri, Buchi Reddy; Chakka, Ramesh
PATENT ASSIGNEE(S): Reddy's Laboratories Ltd., India
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

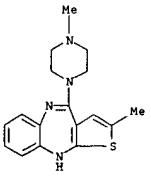
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018390	A1	20020307	WO 2001-US7258	20010307
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001043475	A5	20020313	AU 2001-43475	20010307
EP 1313742	A1	20030528	EP 2001-916449	20010307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001014031	A	20030909	BR 2001-14031	20010307
NO 2003000926	A	20030424	NO 2003-926	20030227

PRIORITY APPLN. INFO.: IN 2000-MA709 A 20000831
IN 2000-MA711 A 20000831
WO 2001-US7258 W 20010307
AB The present invention relates to a method for the prepn. of hydrates of olanzapine. The present invention also relates to a process for conversion of these hydrates into a pure cryst. form of olanzapine referred to as form-1. The present invention also relates to a method of converting olanzapine form-2 to form-1. Thus, a mixt. of 4-amino-2-methyl-10H-thieno-[2,3-b][1,5]benzodiazepine-HCl, N-methylpiperazine, DMSO, and toluene was heated under reflux, the mixt. was cooled, and water was added. The olanzapine that was sepd. was dried to give a product with a moisture content of 5.22%.
IT **132539-06-1P**, Olanzapine **402586-77-0P**
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of hydrates of olanzapine and their conversion into **cryst.** forms of olanzapine)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 14 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



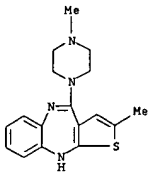
RN 402586-77-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-, monohydrate (9CI) (CA INDEX NAME)



● H2O

IT **205485-16-1**
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of hydrates of olanzapine and their conversion into **cryst.** forms of olanzapine)
RN 205485-16-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-, dihydrate (9CI) (CA INDEX NAME)

L13 ANSWER 14 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



●2 H_2O

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 15 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:136045 CAPLUS
DOCUMENT NUMBER: 136:172816
TITLE: **Polymorphic** forms of olanzapine
INVENTOR(S): Hamied, Yusuf K.; Kankan, Rajendra N.; Rao, Dharmaraj R.
PATENT ASSIGNEE(S): U & I Pharmaceuticals Ltd., USA
SOURCE: U.S., 20 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6348458	B1	20020219	US 2000-540749	20000331
WO 2001047933	A1	20010705	WO 2000-GB4982	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1246827	A1	20021009	EP 2000-983422	20001222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
DE 20023184	U1	20030508	DE 2000-20023184	20001222
US 2002165225	A1	20021107	US 2001-26949	20011227

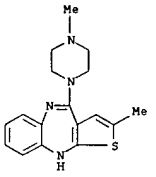
PRIORITY APPLN. INFO.:
IN 1999-BO972 A 19991228
IN 1999-BO977 A 19991228
US 2000-540749 A 20000331
EP 2000-983422 A 20001222
WO 2000-GB4982 W 20001222

AB The invention provides 3 new **polymorphic** forms of olanzapine, a process for prepg. the new **polymorphs** and pharmaceutical compns. contg. the **polymorphs**. The new **polymorphic** forms of olanzapine are useful for the treatment of psychotic conditions, mild anxiety and gastrointestinal conditions. Form I olanzapine (10 g) was dissolved in a mixt. of 30 mL HOAc and 30 mL water by stirring. Activated charcoal (0.5 g) was added and the contents filtered over celite. The clear soln. was maintained at 20.degree. and 15% aq. ammonia soln. was added over a period of 30 min to adjust the pH to 8. The contents were filtered and dried to obtain Form III olanzapine (9.6 g), which was characterized by IR and XRD.

IT **132539-06-1**, Olanzapine
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**polymorphic** forms of olanzapine)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 15 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

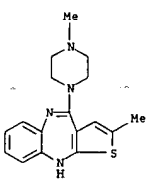
L13 ANSWER 16 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:838800 CAPLUS
DOCUMENT NUMBER: 137:56947
TITLE: Olanzapine disposition in humans is unrelated to CYP1A2 and CYP2D6 phenotypes
AUTHOR(S): Hagg, S.; Spigset, O.; Lakso, H. A.; Dahlqvist, R.
CORPORATE SOURCE: Department of Psychiatry, Sahlgrenska University Hospital/Sahlgrenska, Goeteborg, 413 45, Swed.
SOURCE: European Journal of Clinical Pharmacology (2001), 57(6-7), 493-497
CODEN: EJCPAS; ISSN: 0031-6970
PUBLISHER: Springer-Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Seventeen healthy, nonsmoking male volunteers were included in the study. Five subjects were cytochrome P 450 (CYP)2D6 poor metabolizers (PMs), and 12 were CYP2D6 extensive metabolizers (EMs). All the subjects received a single oral dose of 7.5 mg olanzapine, and serum concns. were measured for 96 h by gas chromatog. A cross-over study was undertaken in the 12 CYP2D6 EMs who, .gtoreq.2 wk before or after the olanzapine dose received a single oral dose of 200 mg caffeine. The concns. of caffeine and paraxanthine were measured in saliva 10 h after caffeine intake, and the paraxanthine/caffeine ratio was calcd. as a measure of CYP1A2 activity. A 3-fold interindividual variability in oral clearance (CLoral) and max. serum concn. (Cmax) of olanzapine was obsd., and a 2.3-fold interindividual variability in CYP1A2 activity. There was no correlation between CYP1A2 activity and oral clearance of olanzapine. Moreover, there were no significant differences in any of the olanzapine pharmacokinetic parameters between the CYP2D6 PMs and EMs (CLoral = 0.246 L/h/kg and 0.203 L/h/kg, resp.). Thus, neither CYP1A2 nor CYP2D6 seems to have a dominating role in olanzapine biotransformation after intake of a single dose.

IT **132539-06-1**, Olanzapine
RL: PKT (Pharmacokinetics); BIOL (Biological study)
(olanzapine disposition in humans in relation to cytochrome P 450 1A2 and 2D6 phenotypes)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



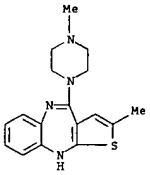
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 17 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:807597 CAPLUS
DOCUMENT NUMBER: 137:125141
TITLE: Synthesis of olanzapine
AUTHOR(S): Cen, Junda
CORPORATE SOURCE: Shanghai Institute of Pharmaceutical Industry, Shanghai, 200437, Peop. Rep. China
SOURCE: Zhongguo Yiyao Gongye Zazhi (2001), 32(9), 391-393
CODEN: ZYGZEA; ISSN: 1001-8255
PUBLISHER: Zhongguo Yiyao Gongye Zazhi Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
OTHER SOURCE(S): CASREACT 137:125141

AB Olanzapine was synthesized by condensation of S, propionaldehyde, and malononitrile in the presence of triethylamine to give 2-amino-5-methylthiophene-3-carbonitrile, condensation with 2-chloronitrobenzene in DMF in the presence of LiOH, redn. and ring-closure with SnCl2 to give 4-amino-2-methyl-10H-thieno[2,3-b][1,5]benzodiazepine, condensation with piperazine, and methylation with HCOOH and HCHO in DMSO in an overall yield of 29%.

IT **132539-06-1P**, Olanzapine
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(synthesis of olanzapine)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

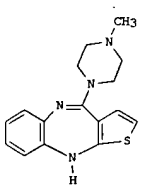


L13 ANSWER 18 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:489405 CAPLUS
DOCUMENT NUMBER: 135:76906
TITLE: Preparation and characterization of new **polymorphic** crystal forms of olanzapine
INVENTOR(S): Hamied, Yusuf Khwaja; Kankan, Rajendra Narayanrao; Rao, Dharmaraj Ramachandra
PATENT ASSIGNEE(S): Cipla Ltd., India; Wain, Christopher, Paul
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047933	A1	20010705	WO 2000-GB4982	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6348458	B1	20020219	US 2000-540749	20000331
EP 1246827	A1	20021009	EP 2000-983422	20001222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.:
IN 1999-BO977 A 19991228
US 2000-540749 A 20000331
IN 1999-BO972 A 19991228
WO 2000-GB4982 W 20001222

GI



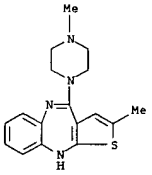
I

AB Three new **polymorphic** forms of 2-methyl-4-[4-methyl-1-

L13 ANSWER 18 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
piperazinyl]-10H-thieno[2,3-b][1,5]benzodiazepine (I; i.e., olanzapine), an antipsychotic (no data) and anxiolytic (no data), are prepd. by disolving the inital I **polymorph** in aq. acidic solns. (e.g., AcOH) and pptg. a different I crystal **polymorph** by neutralization with a base (e.g., aq. sodium hydroxide). The new **polymorphic** I forms are characterized via X-ray powder diffraction and FT-IR.

IT **132539-06-1**, Olanzapine
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PROC (Process)
(prepn. and characterization of new **polymorphic crystal** forms of olanzapine)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



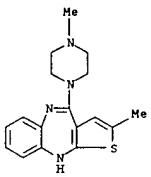
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 19 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:135188 CAPLUS
DOCUMENT NUMBER: 134:320793
TITLE: Allelic variation in the 5-HT2C receptor (HT2RC) and the increase in slow wave sleep produced by olanzapine
AUTHOR(S): Sharpley, A. L.; Vassallo, C. M.; Pooley, E. C.; Harrison, P. J.; Cowen, P. J.
CORPORATE SOURCE: University Department of Psychiatry, Warneford Hospital, Oxford, OX3 7JX, UK
SOURCE: Psychopharmacology (Berlin, Germany) (2001), 153(2), 271-272
CODEN: PSCHDL; ISSN: 0033-3158
PUBLISHER: Springer-Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The aim of the study was to assess whether the increase in slow wave sleep that follows administration of the atypical antipsychotic and 5-HT2C receptor antagonist, olanzapine, differed in subjects with serine substituted 5-HT2C receptors. As in the authors previous study (Sharpley et al. 2000), olanzapine produced a substantial increase in slow wave sleep, suggesting that at doses of 5 mg and greater, it produces effective blockade of central 5-HT2C receptors. The results do not support the proposal that the functional consequences of 5-HT2C receptor blockade differ with different 5-HT2C receptor genotypes.

IT **132539-06-1**, Olanzapine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(allelic variation in 5-HT2C receptor and increase in slow wave sleep produced by atypical antipsychotic olanzapine)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



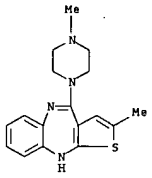
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 20 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:112809 CAPLUS
DOCUMENT NUMBER: 135:40320
TITLE: Separation of olanzapine, carbamazepine and their main metabolites by capillary electrophoresis with pseudo-stationary phases
AUTHOR(S): Izzo, G.; Raggi, M.-A.; Maichel, B.; Kenndler, E.
CORPORATE SOURCE: Institute for Analytical Chemistry, University of Vienna, Vienna, A-1090, Austria
SOURCE: Journal of Chromatography, B: Biomedical Sciences and Applications (2001), 752(1), 47-53
CODEN: JCBBEP; ISSN: 0378-4347
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Conditions were worked out for the sepn. of carbamazepine, olanzapine, and their main metabolites carbamazepine 10,11-epoxide, 10-hydroxycarbamazepine, and desmethylolanzapine. The sepn. was based on electrokinetically driven methods in the capillary format. The main difficulty in sepg. these compds. is related to their different chem. classes. Whereas the carbamazepine members are amides, and are elec. neutral, the olanzapine members have aliph. amino groups and are thus cationic under most exptl. conditions. Different additives were applied as pseudo-stationary phases to implement selectivity. Poly(diallyldimethylammonium), PDADMA, is a polycationic replaceable and sol. polymer, that interacts mainly according to the polarizability of the analyte mols. The MEKC principle was applied with the common SDS as micelle former. In both systems, only partial resoln. of the analytes was obtained. The most favorable system consisted of a charged, oligomeric additive: full sepn. of all analytes within 4 min was achieved with heptakis-6-sulfato-.beta.-cyclodextrin (7 mM) in 30 mM borate buffer, pH 8.5.

IT **132539-06-1P**, Olanzapine
RL: ANT (Analyte); PUR (Purification or recovery); ANST (Analytical study); **PREP (Preparation)**
(sepn. of olanzapine, carbamazepine and their main metabolites by capillary electrophoresis with pseudo-stationary phases)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



L13 ANSWER 20 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 21 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:260507 CAPLUS
DOCUMENT NUMBER: 132:277760
TITLE: Molecular markers for determining a patient's risk of developing agranulocytosis and the development of drugs not inducing the disease
INVENTOR(S): Lee, John; Kauffman, Michael
PATENT ASSIGNEE(S): Millennium Predictive Medicine, Inc., USA
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

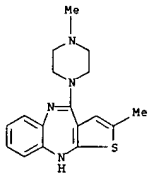
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000022109	A1	20000420	WO 1999-US23638	19991013
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9964254	A1	20000501	AU 1999-64254	19991013
PRIORITY APPLN. INFO.:			US 1998-104100P P	19981013
			WO 1999-US23638 W	19991013

AB The invention features methods for detg. whether a patient is likely to develop agranulocytosis, for example, as a result of treatment with pharmaceutical agents that adversely affect leukocytes or their progenitors in the bone marrow. Further, it encompasses methods for screening compds. to find those useful in treating or preventing agranulocytosis, as well as methods for treating a patient who is at risk of developing, or who has developed, agranulocytosis. The invention is based, in part, on the identification of differentially expressed genes, i.e., genes that are either overexpressed or underexpressed in bone marrow cells treated with clozapine, the expression being relative to that in untreated bone marrow cells or in bone marrow cells that have been treated with a compd. that does not alter expression of the differentially expressed genes of the invention (i.e., olanzapine).

IT **132539-06-1**, Olanzapine
RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(mol. markers for detg. patient's risk of developing agranulocytosis and development of drugs not inducing disease)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 21 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 22 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:227510 CAPLUS
DOCUMENT NUMBER: 132:256034
TITLE: 2-Methylthienobenzodiazepine formulation
INVENTOR(S): Bunnell, Charles Arthur; Ferguson, Thomas Harry; Hendriksen, Barry Arnold; Sanchez-Felix, Manuel Vicente; Tupper, David Edward
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018408	A1	20000406	WO 1999-US6417	19990324
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6169084	B1	20010102	US 1998-163769	19980930
CA 2344873	AA	20000406	CA 1999-2344873	19990324
AU 9933627	A1	20000417	AU 1999-33627	19990324
AU 759751	B2	20030501		
BR 9914156	A	20010626	BR 1999-14156	19990324
EP 1119359	A1	20010801	EP 1999-915009	19990324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002525330	T2	20020813	JP 2000-571926	19990324
NZ 510208	A	20030429	NZ 1999-510208	19990324
ZA 2001002231	A	20020318	ZA 2001-2231	20010316
NO 2001001583	A	20010328	NO 2001-1583	20010328
HR 2001000238	A1	20020430	HR 2001-238	20010329

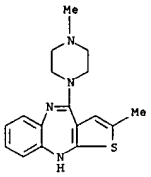
PRIORITY APPLN. INFO.:
US 1998-163768 A 19980930
US 1998-163769 A 19980930
US 1997-60493P P 19970930
WO 1999-US6417 W 19990324

AB The invention provides a pharmaceutically acceptable oleaginous or cholesterol microsphere formulation of olanzapine or olanzapine pamoate or solvates. Thus, olanzapine was prepd. and mixed with cholesterol in methylene chloride. An aq. soln. of PVA was added to the above soln. and the mixt. was passed through 100- and 230-mesh sieves, and the particles thus obtained were allowed to dry.

IT **132539-06-1P**, Olanzapine
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; PROC (Process); USES (Uses)
(methylthienobenzodiazepine formulations)

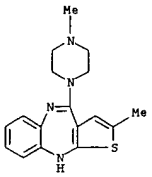
RN 132539-06-1 CAPLUS

L13 ANSWER 22 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-(9CI) (CA INDEX NAME)



IT **205485-16-1P 221373-09-7P 221373-12-2P**
221373-14-4P 221373-18-8P 263017-43-2P
263017-44-3P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(methylthienobenzodiazepine formulations)

RN 205485-16-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-, dihydrate (9CI) (CA INDEX NAME)



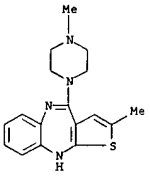
●2 H_2O

RN 221373-09-7 CAPLUS
CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (1:1) (9CI) (CA INDEX NAME)

CM 1

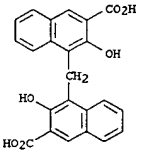
CRN 132539-06-1

L13 ANSWER 22 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CMF C17 H20 N4 S



CM 2

CRN 130-85-8
CMF C23 H16 O6

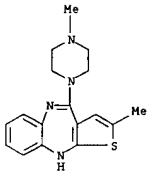


RN 221373-12-2 CAPLUS
CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with methanol and 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (1:2:1) (9CI) (CA INDEX NAME)

CM 1

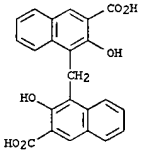
CRN 132539-06-1
CMF C17 H20 N4 S

L13 ANSWER 22 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 130-85-8
CMF C23 H16 O6



CM 3

CRN 67-56-1
CMF C H4 O

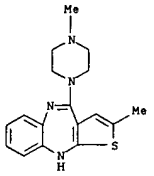
H_3C-OH

RN 221373-14-4 CAPLUS
CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine and tetrahydrofuran (1:1:1) (9CI) (CA INDEX NAME)

CM 1

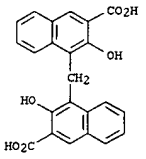
CRN 132539-06-1
CMF C17 H20 N4 S

L13 ANSWER 22 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 130-85-8
CMF C23 H16 O6



CM 3

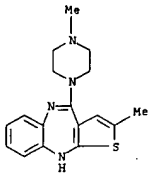
CRN 109-99-9
CMF C4 H8 O

RN 221373-18-8 CAPLUS
CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (1:1), monohydrate (9CI) (CA INDEX NAME)

CM 1

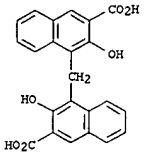
CRN 132539-06-1

L13 ANSWER 22 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CMF C17 H20 N4 S



CM 2

CRN 130-85-8
CMF C23 H16 O6

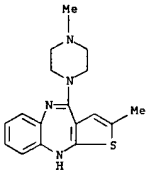


RN 263017-43-2 CAPLUS
CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine and 2-propanone (1:2:2) (9CI) (CA INDEX NAME)

CM 1

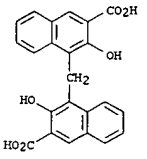
CRN 132539-06-1
CMF C17 H20 N4 S

L13 ANSWER 22 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 130-85-8
CMF C23 H16 O6



CM 3

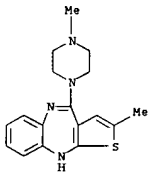
CRN 67-64-1
CMF C3 H6 O

RN 263017-44-3 CAPLUS
CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (1:2), dihydrate (9CI) (CA INDEX NAME)

CM 1

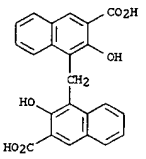
CRN 132539-06-1
CMF C17 H20 N4 S

L13 ANSWER 22 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 130-85-8
CMF C23 H16 O6



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 23 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:752863 CAPLUS
DOCUMENT NUMBER: 131:346550
TITLE: Atypical antipsychotic agent-serotonin reuptake inhibitor combinations for therapy of refractory depression
INVENTOR(S): Tollefson, Gary Dennis
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 958824	A2	19991124	EP 1999-303969	19990521
EP 958824	A3	19991201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2332814	AA	19991202	CA 1999-2332814	19990521
WO 9961027	A1	19991202	WO 1999-US11276	19990521
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9940086	A1	19991213	AU 1999-40086	19990521
AU 761510	B2	20030605		
BR 9911049	A	20010206	BR 1999-11049	19990521
JP 2002516282	T2	20020604	JP 2000-550487	19990521
HR 2000000797	A1	20011031	HR 2000-797	20001120
NO 2000005885	A	20010117	NO 2000-5885	20001121
ZA 2000006815	A	20020114	ZA 2000-6815	20001121

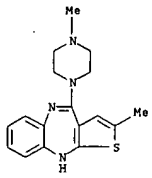
PRIORITY APPLN. INFO.: US 1998-86444P P 19980522
WO 1999-US11276 W 19990521

AB Methods and compns. are provided for the treatment of depressive states refractory to treatment with traditional antidepressive therapies alone. These methods and compns. employ a compd. having activity as an atypical antipsychotic (e.g. olanzapine) and a serotonin reuptake inhibitor (e.g. fluoxetine). This invention also provides methods of providing rapid onset treatments of major depression which employing a compd. having activity as an atypical antipsychotic and a serotonin reuptake inhibitor.

IT **132539-06-1P**, Olanzapine
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(atypical antipsychotic agent-serotonin reuptake inhibitor combinations for therapy of refractory depression)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 23 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



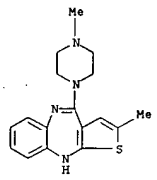
L13 ANSWER 24 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:739920 CAPLUS
DOCUMENT NUMBER: 132:303294
TITLE: Drug extrapyramidal side effects. CYP2D6 genotypes and phenotypes
AUTHOR(S): Vandel, P.; Haffen, E.; Vandel, S.; Bonin, B.; Nezelof, S.; Sechter, D.; Broly, F.; Bizouard, P.; Dalery, J.
CORPORATE SOURCE: Hospitalo-University Department of Psychiatry and Medical Psychology, Centre Hospitalo-Universitaire, Besancon, F-25030, Fr.
SOURCE: European Journal of Clinical Pharmacology (1999), 55(9), 659-665
CODEN: EJCPAS; ISSN: 0031-6970
PUBLISHER: Springer-Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Objective: Among Caucasians, a lack of cytochrome P450 enzyme CYP2D6 is obsd. in 5-10% of individuals, named poor metabolizers (PMs). A consequence may be an impaired metab. of many drugs such as most of the psychotropic drugs with an increased risk of drug side effects. This enzyme is also involved in the metab. of endogenous compds., including neurotransmitters such as dopamine and dopamine-related neurotransmitters which play a role in the mechanism of action of extrapyramidal drug side effects. The present study investigates whether patients who have developed and those who have not developed extrapyramidal drug side effects differ in their CYP2D6 genotypes and phenotypes. Methods: The CYP2D6 genotype (method involving restriction length fragment **polymorphism** and polymerase chain reaction-single strand conformation **polymorphism**) was detd. in 65 drug-treated in-patients, and the CYP2D6 phenotype (with dextromethorphan probe) in 62 of them. Two groups were constituted, one with 22 patients who had developed extrapyramidal drug side effects, and the second with 43 patients without such side effects. Results: In the whole population, there was an over-representation of PM phenotypes - more marked in the first group than the second (45% vs 14%). Concerning the genotypes, we obsd. that the percentage of functional alleles (with extensive metabolic capacity) was higher in group 2, whereas the percentage of non-functional alleles (without metabolic activity) was higher in group 1; this frequency difference was only marginally significant (.chi.2 5.95; P < 0.0509; degrees of freedom=2). Consequently, there was a higher percentage of genotypes with no (extensive) functional alleles in the group of patients suffering from extrapyramidal side effects than in the other group (P < 0.00001). Conclusion: CYP2D6-impaired metabolic capacity may be a contributory factor in extrapyramidal drug side effects.

IT **132539-06-1**, Olanzapine
RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug extrapyramidal side effects and role of CYP2D6 genotypes and phenotypes)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 24 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 25 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:425470 CAPLUS
DOCUMENT NUMBER: 131:78439
TITLE: Oral formulations containing olanzapine
INVENTOR(S): Cochran, George Randall; Morris, Tommy Clifford
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 7 pp., Cont.-in-part of U.S. Ser. No. 410,465, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5919485	A	19990706	US 1996-716922	19960920
CA 2216372	AA	19961003	CA 1996-2216372	19960322
WO 9629995	A1	19961003	WO 1996-US3918	19960322
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9654280	A1	19961016	AU 1996-54280	19960322
AU 696601	B2	19980917		
ZA 9602338	A	19970922	ZA 1996-2338	19960322
GB 2313783	A1	19971210	GB 1997-19817	19960322
GB 2313783	B2	19981118		
DE 19681287	T	19980319	DE 1996-19681287	19960322
CN 1179102	A	19980415	CN 1996-192778	19960322
BR 9607791	A	19980707	BR 1996-7791	19960322
AT 9609022	A	19990215	AT 1996-9022	19960322
AT 405606	B	19991025		
JP 11502848	T2	19990309	JP 1996-529533	19960322
TW 426526	B	20010321	TW 1996-85103453	19960322
CH 691217	A	20010531	CH 1997-2246	19960322
AT 206924	E	20011115	AT 1996-301997	19960322
EE 3551	B1	20011217	EE 1997-328	19960322
ES 2164837	T3	20020301	ES 1996-301997	19960322
IL 117611	A1	20020523	IL 1996-117611	19960322
SE 9703206	A	19970905	SE 1997-3206	19970905
LT 4350	B	19980525	LT 1997-149	19970916
FI 9703749	A	19970922	FI 1997-3749	19970922
NO 9704363	A	19971117	NO 1997-4363	19970922
DK 9701090	A	19971112	DK 1997-1090	19970923
DK 173323	B1	20000724		
LV 11983	B	19980720	LV 1997-199	19971014
US 6190698	B1	20010220	US 1998-144188	19980831
US 2001018071	A1	20010830	US 2001-766218	20010119

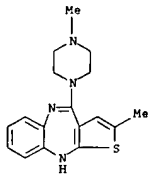
PRIORITY APPLN. INFO.: US 1995-410465 B2 19950324
WO 1996-US3918 W 19960322
US 1996-716922 A3 19960920
US 1998-144188 A3 19980831

AB The invention provides a pharmaceutically acceptable solid oral

L13 ANSWER 25 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
formulation of olanzapine and a process for making such formulation. A preferred formulation of the invention is a solid oral formulation comprising 1-20 mg olanzapine, wherein such solid oral formulation is coated with hydroxypropyl Me cellulose. The coating provides a phys. stability and effectively prevents the undesired discoloration phenomenon in the formulation.

IT **132539-06-1P**, Olanzapine
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(Form II **polymorph**; polymer-coated oral formulations contg. olanzapine)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 26 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:233762 CAPLUS
DOCUMENT NUMBER: 130:257362
TITLE: Methylthienobenzodiazepine derivative antipsychotic drug formulation.
INVENTOR(S): Allen, Douglas James; Dekemper, Kurt Douglas; Ferguson, Thomas Harry; Garvin, Stuart James; Murray, Linda Cameron; Brooks, Norman Dale; Bunnell, Charles Arthur; Hendriksen, Barry Arnold; Mascarenhas, Snehlata Singh; Shinkle, Sharon Louise; Sanchez-Felix, Manuel Vicente; Tupper, David Edward
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9916313	A1	19990408	WO 1998-US20426	19980930
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2304568	AA	19990408	CA 1998-2304568	19980930
AU 9895914	A1	19990423	AU 1998-95914	19980930
AU 752552	B2	20020919		
EP 1018880	A1	20000719	EP 1998-949632	19980930
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9813228	A	20000829	BR 1998-13228	19980930
JP 2001517685	T2	20011009	JP 2000-513467	19980930
NZ 503641	A	20020927	NZ 1998-503641	19980930
MX 200003040	A	20001110	MX 2000-3040	20000328
NO 2000001631	A	20000530	NO 2000-1631	20000329
HR 2000000181	A1	20001231	HR 2000-181	20000331
US 2003027816	A1	20030206	US 2002-136887	20020501
US 6617321	B2	20030909		

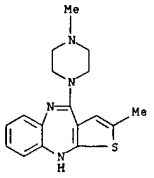
PRIORITY APPLN. INFO.: US 1997-60493P P 19970930
WO 1998-US20426 W 19980930
US 2000-509757 B1 20000329

AB The invention provides a pharmaceutically acceptable oleaginous or cholesterol microsphere formulation of 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2.3-b][1.5]benzodiazepine (olanzapine) (prepn. given) or olanzapine pamoate or solvates thereof. The invention further provides novel olanzapine pamoate salts or solvates thereof.

IT **132539-06-1P**, Olanzapine **221373-09-7P**
221373-12-2P 221373-14-4P 221373-18-8P
221373-22-4P 221373-25-7P 221373-29-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. and formulation of)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-

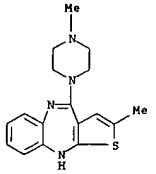
L13 ANSWER 26 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(9CI) (CA INDEX NAME)



RN 221373-09-7 CAPLUS
CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (1:1) (9CI) (CA INDEX NAME)

CM 1

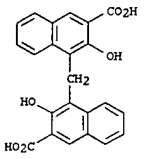
CRN 132539-06-1
CMF C17 H20 N4 S



CM 2

CRN 130-85-8
CMF C23 H16 O6

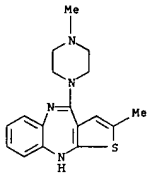
L13 ANSWER 26 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 221373-12-2 CAPLUS
CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with methanol and 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (1:2:1) (9CI) (CA INDEX NAME)

CM 1

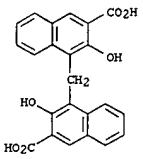
CRN 132539-06-1
CMF C17 H20 N4 S



CM 2

CRN 130-85-8
CMF C23 H16 O6

L13 ANSWER 26 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 3

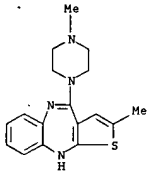
CRN 67-56-1
CMF C H4 O

H_3C-OH

RN 221373-14-4 CAPLUS
CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine and tetrahydrofuran (1:1:1) (9CI) (CA INDEX NAME)

CM 1

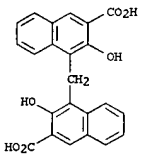
CRN 132539-06-1
CMF C17 H20 N4 S



CM 2

CRN 130-85-8
CMF C23 H16 O6

L13 ANSWER 26 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



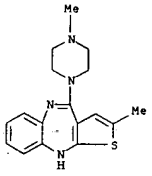
CM 3

CRN 109-99-9
CMF C4 H8 O

RN 221373-18-8 CAPLUS
CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (1:1), monohydrate (9CI) (CA INDEX NAME)

CM 1

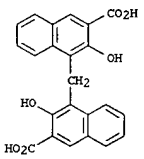
CRN 132539-06-1
CMF C17 H20 N4 S



CM 2

CRN 130-85-8
CMF C23 H16 O6

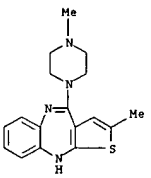
L13 ANSWER 26 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 221373-22-4 CAPLUS
CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine and 2-propanone (1:2:1) (9CI) (CA INDEX NAME)

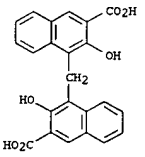
CM 1

CRN 132539-06-1
CMF C17 H20 N4 S



CM 2

CRN 130-85-8
CMF C23 H16 O6



L13 ANSWER 26 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

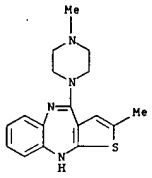
CM 3

CRN 67-64-1
CMF C3 H6 O

RN 221373-25-7 CAPLUS
CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (1:2), monohydrate (9CI) (CA INDEX NAME)

CM 1

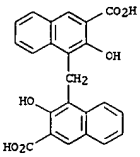
CRN 132539-06-1
CMF C17 H20 N4 S



CM 2

CRN 130-85-8
CMF C23 H16 O6

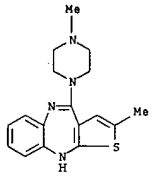
L13 ANSWER 26 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 221373-29-1 CAPLUS
CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (1:1), dihydrate (9CI) (CA INDEX NAME)

CM 1

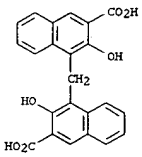
CRN 132539-06-1
CMF C17 H20 N4 S



CM 2

CRN 130-85-8
CMF C23 H16 O6

L13 ANSWER 26 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 27 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:233761 CAPLUS
DOCUMENT NUMBER: 130:276761
TITLE: Method for treating sexual dysfunction using 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5] benzodiazepine
INVENTOR(S): Van Tran, Pierre
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9916312	A1	19990408	WO 1998-US20152	19980925
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2304472	AA	19990408	CA 1998-2304472	19980925
AU 9895834	A1	19990423	AU 1998-95834	19980925
JP 2001517684	T2	20011009	JP 2000-513466	19980925
ZA 9808840	A	20000328	ZA 1998-8840	19980928
US 2002040021	A1	20020404	US 1998-162311	19980928
US 6432943	B1	20020813		
EP 911028	A2	19990428	EP 1998-307950	19980930
EP 911028	A3	19990506		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

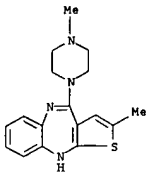
PRIORITY APPLN. INFO.: US 1997-60415P P 19970930
WO 1998-US20152 W 19980925

AB The invention provides a method for treating a sexual dysfunction comprising administering an effective amt. of 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5] benzodiazepine. Prepn. of the compd. of the invention is described, and pharmaceutical compns. are included.

IT **132539-06-1D**, form 1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); FMU (Formation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); USES (Uses)
(thienobenzodiazepine deriv. for sexual dysfunction treatment, prepn., and compns.)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 27 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(thienobenzodiazepine deriv. for sexual dysfunction treatment, prepn., and compns.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 28 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:708815 CAPLUS
DOCUMENT NUMBER: 129:335734
TITLE: Pharmaceutical compositions containing olanzapine for treatment of amyotrophic lateral sclerosis
INVENTOR(S): Bymaster, Franklin Porter; Tollefson, Gary Dennis
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9846596	A1	19981022	WO 1998-US6932	19980408
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9869559	A1	19981111	AU 1998-69559	19980408
EP 872238	A2	19981021	EP 1998-302789	19980409
EP 872238	A3	19981028		
EP 872238	B1	20020306		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EP 1155696	A2	20011121	EP 2001-202986	19980409
EP 1155696	A3	20020522		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI, RO				
AT 213945	E	20020315	AT 1998-302789	19980409
ES 2173550	T3	20021016	ES 1998-302789	19980409
US 2003022889	A1	20030130	US 2002-228618	20020827

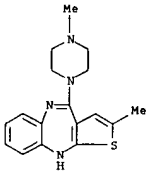
PRIORITY APPLN. INFO.: US 1997-43094P P 19970415
WO 1998-US6932 W 19980408
EP 1998-302789 A3 19980409
US 2000-485360 B3 20000821

AB Pharmaceutical compns. for treating amyotrophic lateral sclerosis and for providing a neuro-protective effect comprise administering a therapeutically effective of olanzapine (I) or a pharmaceutically acceptable salt or solvate thereof. A suspension of I (prepn. given) in Et acetate was heated at 76.degree. for 30 min., then it was allowed to cool to 25.degree.. Form II I which was isolated by filtration had potency .gtoreq.97%. Formulation of a tablet contg. I was given.

IT **132539-06-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(pharmaceutical compns. contg. olanzapine for treatment of amyotrophic lateral sclerosis)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-

L13 ANSWER 28 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 29 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:706091 CAPLUS
DOCUMENT NUMBER: 129:298403
TITLE: Method for treating cerebral focal stroke with olanzapine
INVENTOR(S): Bymaster, Franklin Porter; Tollefson, Gary Dennis
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9846230	A1	19981022	WO 1998-US7154	19980408
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9802917	A	19991006	ZA 1998-2917	19980406
AU 9868961	A1	19981111	AU 1998-68961	19980408
EP 872239	A2	19981021	EP 1998-302794	19980409
EP 872239	A3	19981028		
EP 872239	B1	20010613		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
ES 2158647	T3	20010901	ES 1998-302794	19980409

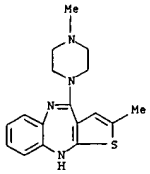
PRIORITY APPLN. INFO.: US 1997-43095P P 19970415
WO 1998-US7154 W 19980408

AB A method is provided for treating cerebral focal stroke comprising administering a therapeutically effective dosage of olanzapine or a pharmaceutically acceptable salt or solvate thereof. Prepn. of form II olanzapine **polymorph** is described.

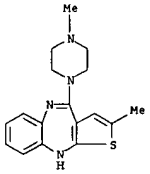
IT **132539-06-1DP**, Olanzapine, form II **polymorph**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(olanzapine for cerebral focal stroke treatment)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-
(9CI) (CA INDEX NAME)

L13 ANSWER 29 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



IT **132539-06-1P**, Olanzapine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(olanzapine for cerebral focal stroke treatment)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



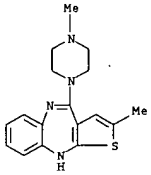
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 30 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:653544 CAPLUS
DOCUMENT NUMBER: 129:286009
TITLE: 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno-[2,3-b][1,5]benzodiazepine for treatment of psychoactive substance disorders
INVENTOR(S): Beasley, Charles M., Jr.; Chakrabarti, Jiban Kumar; Hotten, Terrence Michael; Tupper, David Edward
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Eli Lilly and Company Limited
SOURCE: U.S., 10 pp., Cont.-in-part of U.S. 5,605,897. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5817657	A	19981006	US 1996-748294	19961113
US 5229382	A	19930720	US 1992-890348	19920522
US 5605897	A	19970225	US 1995-387498	19950213

PRIORITY APPLN. INFO.: US 1991-690143 19910423
US 1992-890348 19920522
US 1993-44844 19930408
US 1995-387498 19950213
GB 1990-9229 19900425

AB 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno-[2,3-b][1,5]benzodiazepine (prepn. described), or an acid salt thereof, has pharmaceutical properties, and is of particular use in the treatment of disorders relating to the use of psychoactive substances.
IT **132539-06-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(methyl(methylpiperazinyl)thienobenzodiazepine, prepn., pharmaceutical formulations, and treatment of psychoactive substance disorders)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



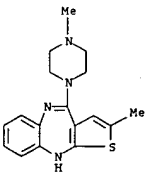
L13 ANSWER 30 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 31 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:653543 CAPLUS
DOCUMENT NUMBER: 129:286008
TITLE: 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno-[2,3-b][1,5]benzodiazepine for treatment of mental disorders
INVENTOR(S): Beasley, Charles M., Jr.; Chakrabarti, Jiban Kumar; Hotten, Terrence Michael; Tupper, David Edward
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Eli Lilly and Company Limited
SOURCE: U.S., 10 pp., Cont.-in-part of U.S. 5,605,897. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5817656	A	19981006	US 1996-748293	19961113
US 5229382	A	19930720	US 1992-890348	19920522
US 5605897	A	19970225	US 1995-387498	19950213

PRIORITY APPLN. INFO.: US 1991-690143 19910423
US 1992-890348 19920522
US 1993-44844 19930408
US 1995-387498 19950213
GB 1990-9229 19900425

AB 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno-[2,3-b][1,5]benzodiazepine (prepn. described), or an acid salt thereof, has pharmaceutical properties, and is of particular use in the treatment of mental disorders.
IT **132539-06-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(methyl(methylpiperazinyl)thienobenzodiazepine, prepn., pharmaceutical formulations, and use for treatment of mental disorders)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 32 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:653542 CAPLUS
DOCUMENT NUMBER: 129:270629
TITLE: Methods of treatment of psychotic conditions using a thieno-benzodiazepine
INVENTOR(S): Chakrabarti, Jiban Kumar; Hotten, Terrence Michael; Tupper, David Edward
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; ELI LILLY AND COMPANY LIMITED
SOURCE: U.S., 10 pp., Cont.-in-part of U.S. 5,627,178.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5817655	A	19981006	US 1996-748292	19961113
US 5229382	A	19930720	US 1992-890348	19920522
US 5627178	A	19970506	US 1995-387997	19950213
US 6008216	A	19991228	US 1998-122294	19980724

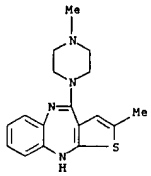
PRIORITY APPLN. INFO.: US 1991-690143 19910423
US 1992-890348 19920522
US 1993-44844 19930408
US 1995-387997 19950213
GB 1990-9229 19900425
US 1996-748292 19961113

AB 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno-[2,3-b][1,5]benzodiazepine (I), or an acid salt thereof, has pharmaceutical properties, and is of particular use in the treatment of disorders of the central nervous system. The results of pharmacol. tests show that I (prepn. given) is an antagonist of dopamine at D-1 and D-2 receptors, has antimuscarinic anticholinergic properties, and antagonist activity at 5HT-2 receptor sites. It also has antagonist activity at noradrenergic .alpha.-receptors. Overall in clin. situations, I showed marked superiority and a better side effects profile than prior art antipsychotic agents, and had a highly advantageous activity level.

IT **132539-06-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(treatment of psychotic conditions using thieno-benzodiazepine compd.)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 32 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 33 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:263237 CAPLUS
DOCUMENT NUMBER: 128:312930
TITLE: Olanzapine for treating insomnia
INVENTOR(S): Van Tran, Pierre
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

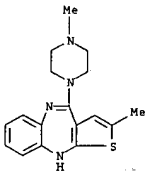
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5744470	A	19980428	US 1997-799052	19970210

PRIORITY APPLN. INFO.: US 1997-799052 19970210

AB The invention provides a method for treating insomnia comprising administering an effective amt. of olanzapine to an elderly patient who has been previously treated with a hypnotic agent. 2-Methyl-10H-thieno[2,3-b][1,5]benzodiazepin-4-amine.cntdot.HCl was treated with N-methylpiperazine to obtain olanzapine, which was suspended in anhyd. EtOAc while heating and the product was isolated using vacuum filtration. The product was identified as Form II using x-ray powder anal. A tablet was formulated contg. 1.18 % olanzapine.

IT **132539-06-1P**, Olanzapine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(olanzapine for treating insomnia)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 34 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:263236 CAPLUS
DOCUMENT NUMBER: 129:8586
TITLE: Method for treating dermatitis
INVENTOR(S): Tran, Pierre V.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

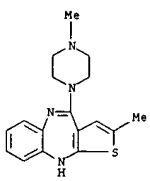
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5744469	A	19980428	US 1996-756996	19961126

PRIORITY APPLN. INFO.: US 1996-756996 19961126

AB The invention provides a method for treating fungal dermatitis comprising administering an effective amt. of 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (I) to a patient in need thereof. I was prepd. from 2-methyl-4-amino-10H-thieno[2,3-b][1,5]benzodiazepine-HCl and N-methylpiperazine. Tablets contg. I were prepd.

IT **132539-06-1P**
RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; PROC (Process); USES (Uses)
(piperazinyl thienobenzodiazepine deriv. for fungal dermatitis treatment)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

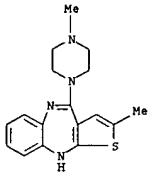
L13 ANSWER 35 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:226721 CAPLUS
DOCUMENT NUMBER: 128:261935
TITLE: Olanzapine **polymorph** crystal form pharmaceutical
INVENTOR(S): Bunnell, Charles Arthur; Hendriksen, Barry Arnold; Larsen, Samuel Dean
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 8 pp., Cont.-in-part of U.S. Ser. No. 409,566, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5736541	A	19980407	US 1996-686989	19960725
CA 2214005	AA	19961003	CA 1996-2214005	19960322
CA 2214005	C	20010703		
WO 9630375	A1	19961003	WO 1996-US3917	19960322
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9652578	A1	19961016	AU 1996-52578	19960322
AU 9654279	A1	19961016	AU 1996-54279	19960322
AU 706471	B2	19990617		
ZA 9602342	A	19970922	ZA 1996-2342	19960322
ZA 9602344	A	19970922	ZA 1996-2344	19960322
GB 2313835	A1	19971210	GB 1997-19819	19960322
GB 2313835	B2	19980916		
DE 19681286	T	19980402	DE 1996-19681286	19960322
CN 1179160	A	19980415	CN 1996-192775	19960322
CN 1065536	B	20010509		
BR 9607790	A	19980707	BR 1996-7790	19960322
JP 11502535	T2	19990302	JP 1996-529532	19960322
AT 9609021	A	20000115	AT 1996-9021	19960322
AT 406771	B	20000825		
AP 828	A	20000428	AP 1997-1065	19960322
W: KE, LS, MW, SD, SZ, UG				
CH 690579	A	20001031	CH 1997-2245	19960322
TW 442488	B	20010623	TW 1996-85103500	19960322
EE 3489	B1	20010815	EE 1997-232	19960322
IL 117610	A1	20010826	IL 1996-117610	19960322
AT 204280	E	20010915	AT 1996-302000	19960322
ES 2159346	T3	20011001	ES 1996-302000	19960322
PL 183723	B1	20020731	PL 1996-322501	19960322
TW 513432	B	20021211	TW 1996-85103499	19960322
SE 9703205	A	19970905	SE 1997-3205	19970905
LV 12018	B	19980920	LV 1997-163	19970908
LT 4349	B	19980525	LT 1997-148	19970916
FI 9703750	A	19970922	FI 1997-3750	19970922

L13 ANSWER 35 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

NO 9704365	A	19970922	NO 1997-4365	19970922
DK 9701089	A	19971112	DK 1997-1089	19970923
HK 1013988	A1	20020705	HK 1998-115175	19981223
PRIORITY APPLN. INFO.:			US 1995-409566	B2 19950324
			US 1995-410474	A 19950324
			WO 1996-US3854	W 19960322
			WO 1996-US3917	W 19960322

AB The invention provides Form II, a pharmaceutically elegant, stable **polymorph** of olanzapine, useful for treating psychotic conditions, mild anxiety and gastrointestinal conditions.
IT **132539-06-1**, Olanzapine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(form II; olanzapine **polymorph crystal** form pharmaceutical)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



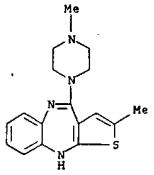
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 36 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:204464 CAPLUS
DOCUMENT NUMBER: 128:275100
TITLE: Intermediates and process for preparing olanzapine
INVENTOR(S): Bunnell, Charles Arthur; Larsen, Samuel Dean; Nichols, John Richard; Reutzel, Susan Marie; Stephenson, Gregory Alan
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 831098	A2	19980325	EP 1997-307383	19970922
EP 831098	A3	19980429		
EP 831098	B1	20011121		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
ZA 9708515	A	19990323	ZA 1997-8515	19970902
WO 9812199	A1	19980326	WO 1997-US16499	19970918
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9744841	A1	19980414	AU 1997-44841	19970918
AU 719441	B2	20000511		
BR 9712100	A	19990831	BR 1997-12100	19970918
CN 1234802	A	19991110	CN 1997-198137	19970918
CN 1122036	B	20030924		
NZ 334448	A	20000825	NZ 1997-334448	19970918
JP 2001500877	T2	20010123	JP 1998-514842	19970918
AT 209208	E	20011215	AT 1997-307383	19970922
ES 2166051	T3	20020401	ES 1997-307383	19970922
US 6020487	A	20000201	US 1997-935884	19970923
TW 470746	B	20020101	TW 1997-86113832	19980227
NO 9901382	A	19990322	NO 1999-1382	19990322
KR 2000048520	A	20000725	KR 1999-702424	19990322
PRIORITY APPLN. INFO.:			US 1996-26487P P	19960923
			WO 1997-US16499 W	19970918

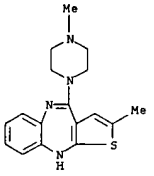
AB The present invention provides a process for prepg. olanzapine and dihydrate **polymorphs**. Olanzapine was prepd. from a known intermediate and later converted to its dihydrate. The x-ray powder anal. of the compd. was carried out.
IT **205485-16-1P**
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(intermediates and process for prepg. olanzapine)
RN 205485-16-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-, dihydrate (9CI) (CA INDEX NAME)

L13 ANSWER 36 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



●2 H_2O

IT **132539-06-1P**, Olanzapine
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(intermediates and process for prepg. olanzapine)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



L13 ANSWER 37 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:204418 CAPLUS
DOCUMENT NUMBER: 128:261967
TITLE: Formulation comprising coated olanzapine particles
INVENTOR(S): Morris, Tommy Clifford; Lange, Hans Joerg
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 830858	A1	19980325	EP 1997-307380	19970922
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
WO 9813027	A1	19980402	WO 1997-US16547	19970918
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9744230	A1	19980417	AU 1997-44230	19970918
AU 719788	B2	20000518		
CN 1230883	A	19991006	CN 1997-198099	19970918
BR 9713215	A	20000404	BR 1997-13215	19970918
JP 2001501207	T2	20010130	JP 1998-515724	19970918
ZA 9708517	A	19990323	ZA 1997-8517	19970922
US 2001020032	A1	20010906	US 1997-935882	19970923
NO 9901405	A	19990323	NO 1999-1405	19990323
KR 2000048540	A	20000725	KR 1999-702455	19990323

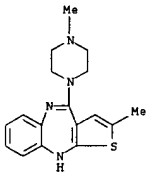
PRIORITY APPLN. INFO.: US 1996-26633P P 19960924
WO 1997-US16547 W 19970918

AB A pharmaceutically elegant solid oral formulation comprising olanzapine as an active ingredient with one or more pharmaceutically acceptable excipients is provided, wherein the olanzapine is coated with cetyl alc., cetyl esters wax, carnauba wax, shellac, beeswax, magnesium stearate, hydroxypropyl Me cellulose, hydroxyethyl cellulose, Me hydroxyethyl cellulose, sodium CM-cellulose, hydroxypropyl cellulose, PVP, dimethylaminoethyl methacrylate-Me acrylate copolymer, Et acrylate-Me methacrylate copolymer, Me cellulose, and/or Et cellulose, to prevent desolvation of olanzapine. Olanzapine is substantially pure form II **polymorph** (x-ray powder diffraction pattern is shown).

IT **132539-06-1**, Olanzapine
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coated olanzapine particles for prevention of color changes in solid oral dosage forms)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 37 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 38 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:650271 CAPLUS
DOCUMENT NUMBER: 127:298752
TITLE: Olanzapine for treatment of pain
INVENTOR(S): Helton, David R.; Kallman, Mary J.; Shannon, Harlan E.; Womer, Daniel E.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9735583	A1	19971002	WO 1997-US4626	19970324
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2248873	AA	19971002	CA 1997-2248873	19970324
AU 9723408	A1	19971017	AU 1997-23408	19970324
AU 721338	B2	20000629		
EP 910381	A1	19990428	EP 1997-916159	19970324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1219878	A	19990616	CN 1997-194952	19970324
BR 9708246	A	19990727	BR 1997-8246	19970324
US 6258807	B1	20010710	US 1997-823460	19970324
JP 2001517202	T2	20011002	JP 1997-534509	19970324
NO 9804446	A	19981125	NO 1998-4446	19980924
KR 2000004964	A	20000125	KR 1998-7568	19980924

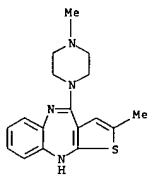
PRIORITY APPLN. INFO.: US 1996-14131P P 19960325
US 1996-14133P P 19960325
US 1996-14153P P 19960325
WO 1997-US4626 W 19970324

AB The present invention provides a method for treating pain comprising administering an analgesic dosage of olanzapine or its **polymorph**. Olanzapine was prepd. by reaction of 2-methyl-4-amino-10H-thieno[2,3-b][1,5]-benzodiazepine with N-methylpiperazine in DMSO. Olanzapine tablets were prepd. by using a coating soln. of 10% HPMC.

IT **132539-06-1P**, Olanzapine
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(analgesic compns. contg. olanzapine)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 38 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L13 ANSWER 39 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:650270 CAPLUS
DOCUMENT NUMBER: 127:298751
TITLE: Method for treating migraine pain
INVENTOR(S): Shannon, Harlan E.; Womer, Daniel E.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9735582	A1	19971002	WO 1997-US4471	19970324
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2250186	AA	19971002	CA 1997-2250186	19970324
AU 9725845	A1	19971017	AU 1997-25845	19970324
AU 721290	B2	20000629		
CN 1219876	A	19990616	CN 1997-194950	19970324
CN 1106196	B	20030423		
BR 9708145	A	19990727	BR 1997-8145	19970324
US 5929070	A	19990727	US 1997-823457	19970324
EP 932407	A1	19990804	EP 1997-917556	19970324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
NZ 332037	A	20010126	NZ 1997-332037	19970324
JP 2001508759	T2	20010703	JP 1997-534491	19970324
IL 126063	A1	20020421	IL 1997-126063	19970324
NO 9804432	A	19981124	NO 1998-4432	19980923
KR 2000004966	A	20000125	KR 1998-7570	19980924

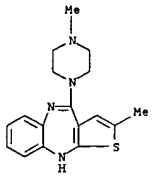
PRIORITY APPLN. INFO.: US 1996-14127P P 19960325
WO 1997-US4471 W 19970324

AB The present invention provides a method for treating migraine pain comprising administering an analgesic dosage of olanzapine. Olanzapine was prepd. and a **polymorphic** form prepd. and characterized. Tablet formulations were given.

IT **132539-06-1P**, Olanzapine
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(olanzapine compns. for treatment of migraine pain)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 39 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L13 ANSWER 40 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:632496 CAPLUS
DOCUMENT NUMBER: 127:268052
TITLE: Olanzapine for the treatment of insomnia
INVENTOR(S): Van Tran, Pierre
PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA
SOURCE: Eur. Pat. Appl., 12 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 795330	A1	19970917	EP 1997-301534	19970307
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
ZA 9701899	A	19980907	ZA 1997-1899	19970305
CA 2248758	AA	19970918	CA 1997-2248758	19970307
WO 9733587	A1	19970918	WO 1997-US3592	19970307
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9721989	A1	19971001	AU 1997-21989	19970307
AU 724245	B2	20000914		
CN 1212627	A	19990331	CN 1997-192796	19970307
BR 9708181	A	19990727	BR 1997-8181	19970307
JP 2000506528	T2	20000530	JP 1997-532707	19970307
NZ 331846	A	20000728	NZ 1997-331846	19970307
NO 9804190	A	19980911	NO 1998-4190	19980911

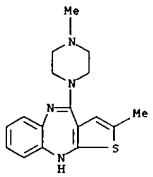
PRIORITY APPLN. INFO.: US 1996-13126P P 19960311
GB 1996-6731 A 19960329
WO 1997-US3592 W 19970307

AB The invention discloses the use of olanzapine for treating insomnia. The prepn. and **polymorphic** form of olanzapine were given and tablets were prepd.

IT **132539-06-1P**, Olanzapine
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(olanzapine for the treatment of insomnia)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 40 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L13 ANSWER 41 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:623041 CAPLUS
DOCUMENT NUMBER: 127:244231
TITLE: Method for treating substance abuse
INVENTOR(S): Beasley, Charles M., Jr.; Rasmussen, Kurt; Tollefson, Gary D.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Beasley, Charles M., Jr.; Rasmussen, Kurt; Tollefson, Gary D.
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9733586	A1	19970918	WO 1997-US3404	19970310
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2248738	AA	19970918	CA 1997-2248738	19970310
AU 9720672	A1	19971001	AU 1997-20672	19970310
AU 725940	B2	20001026		
CN 1213308	A	19990407	CN 1997-193069	19970310
BR 9708037	A	19990727	BR 1997-8037	19970310
EP 1007050	A1	20000614	EP 1997-908871	19970310
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
NZ 331845	A	20000929	NZ 1997-331845	19970310
JP 2000517287	T2	20001226	JP 1997-522340	19970310
US 6159963	A	20001212	US 1997-952845	19971125
NO 9804196	A	19981103	NO 1998-4196	19980911

PRIORITY APPLN. INFO.:
US 1996-13160P P 19960311
US 1996-13161P P 19960311
GB 1996-6615 A 19960329
GB 1996-6617 A 19960329
WO 1997-US3404 W 19970310

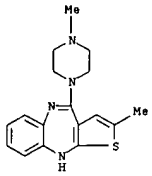
AB The invention provides a method for treating substance abuse comprising administering an effective amt. of olanzapine or pharmaceutically acceptable salt thereof to a patient in need thereof.

IT **132539-06-1**, Olanzapine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(olanzapine for treating substance abuse)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 41 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L13 ANSWER 42 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:623040 CAPLUS
DOCUMENT NUMBER: 127:268044
TITLE: Olanzapine for treating autism and mental retardation
INVENTOR(S): Beasley, Charles M., Jr.; Tollefson, Gary D.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Beasley, Charles M. Jr.; Tollefson, Gary D.
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9733585	A1	19970918	WO 1996-US19576	19961204
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2248741	AA	19970918	CA 1996-2248741	19961204
AU 9711501	A1	19971001	AU 1997-11501	19961204
AU 709181	B2	19990826		
CN 1213970	A	19990414	CN 1996-180207	19961204
BR 9612552	A	19990720	BR 1996-12552	19961204
EP 946179	A1	19991006	EP 1996-942934	19961204
EP 946179	B1	20030917		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
JP 2000506860	T2	20000606	JP 1997-532571	19961204
NZ 324615	A	20000825	NZ 1996-324615	19961204
NO 9804197	A	19981103	NO 1998-4197	19980911

PRIORITY APPLN. INFO.:
US 1996-13162P P 19960311
WO 1996-US19576 W 19961204

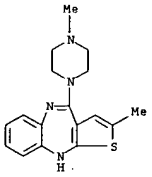
AB The invention provides a method for treating autistic disorder and/or mental retardation comprising administering an effective amt. of olanzapine (I) to a patient in need thereof. I is preferably in Form II **polymorph** and orally administered. I was suspended in anhyd. EtOAc, heated to 76.degree., cooled to 25.degree., and isolated using vacuum filtration. The product was identified as Form II using x-ray powder anal. I was formulated into tablets.

IT **132539-06-1P**, Olanzapine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(olanzapine for treating autism and metal retardation)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 42 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L13 ANSWER 43 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:623039 CAPLUS
DOCUMENT NUMBER: 127:268043
TITLE: Olanzapine for treating excessive aggression
INVENTOR(S): Beasley, Charles M., Jr.; Tran, Pierre V.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Beasley, Charles M., Jr.; Tran, Pierre V.
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9733584	A1	19970918	WO 1996-US19573	19961204
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2248753	AA	19970918	CA 1996-2248753	19961204
AU 9712846	A1	19971001	AU 1997-12846	19961204
AU 719517	B2	20000511		
EP 900085	A1	19990310	EP 1996-943659	19961204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
CN 1213969	A	19990414	CN 1996-180206	19961204
BR 9612549	A	19990720	BR 1996-12549	19961204
JP 2000506858	T2	20000606	JP 1997-532569	19961204
NZ 325035	A	20010629	NZ 1996-325035	19961204
RO 117347	B1	20020228	RO 1998-1386	19961204
NO 9804198	A	19981102	NO 1998-4198	19980911

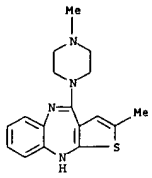
PRIORITY APPLN. INFO.: US 1996-13127P P 19960311
WO 1996-US19573 W 19961204

AB The invention provides a method for treating extreme aggression comprising administering an effective amt. of olanzapine to a patient in need thereof.

IT **132539-06-1**, Olanzapine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(**crystal polymorph** II; olanzapine for treating excessive aggression)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 43 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L13 ANSWER 44 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:623032 CAPLUS
DOCUMENT NUMBER: 127:283397
TITLE: Pharmaceutical compositions for treating bipolar disorder containing olanzapine
INVENTOR(S): Beasley, Charles M., Jr.; Tollefson, Gary D.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Beasley, Charles M., Jr.; Tollefson, Gary D.
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9733577	A1	19970918	WO 1996-US19575	19961204
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9713307	A1	19971001	AU 1997-13307	19961204
EP 889725	A1	19990113	EP 1996-944772	19961204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
CN 1213966	A	19990414	CN 1996-180183	19961204
BR 9612548	A	19990720	BR 1996-12548	19961204
JP 2000506859	T2	20000606	JP 1997-532570	19961204
NZ 326031	A	20010525	NZ 1996-326031	19961204
NO 9804189	A	19980911	NO 1998-4189	19980911

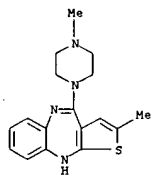
PRIORITY APPLN. INFO.: US 1996-13159P P 19960311
WO 1996-US19575 W 19961204

AB A method for treating bipolar disorder comprising administering an effective amt. of olanzapine (I) to a patient in need thereof. Addnl., the present invention provides a method for treating bipolar disorder, major depressive episode. I was prepd. by the reaction of 2-methyl-4-amino-10H-thieno[2,3-b][1,5]benzodiazepine hydrochloride with N-methylpiperazine in DMSO. Prepn. of coated pharmaceutical tablets contg. I were disclosed.

IT **132539-06-1P**, Olanzapine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(pharmaceutical compns. for treating bipolar disorder contg. olanzapine)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 44 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L13 ANSWER 45 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:594839 CAPLUS
DOCUMENT NUMBER: 127:257606
TITLE: Assessment of the responsiveness of individuals to modulators of the 5-HT2 receptors, especially the 5-HT2A receptor, by detection of receptor allele DNA
INVENTOR(S): Kerwin, Robert; Collier, David; Roberts, Gareth Wyn
PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK; Kerwin, Robert; Collier, David; Roberts, Gareth Wyn
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9732037	A1	19970904	WO 1997-EP993	19970226
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9718789	A1	19970916	AU 1997-18789	19970226
JP 2000506009	T2	20000523	JP 1997-530621	19970226
ZA 9701775	A	19971128	ZA 1997-1775	19970228

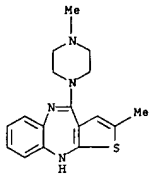
PRIORITY APPLN. INFO.: GB 1996-4465 A 19960301
WO 1997-EP993 W 19970226

AB A method is disclosed for use in assessing, in a subject suffering from a condition which may be treated with a 5-HT2 modulator, the likelihood whether the subject will be responsive or nonresponsive to treatment with a 5-HT2 modulator. The method comprises detecting the presence or absence of DNA encoding the Tyr452 and/or His452 alleles of the 5-HT2A gene in a biol. sample obtained from the subject. Genotyping for His452Tyr **polymorphism** was carried out using blood samples from individuals diagnosed as suffering from schizophrenia and being treated with clozapine. The individuals were also sep. assessed for responsiveness to clozapine treatment.

IT **132539-06-1**, Olanzapine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5-HT2 receptor modulator responsiveness assessment by detection of receptor allele DNA)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 45 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L13 ANSWER 46 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:503266 CAPLUS
DOCUMENT NUMBER: 127:117375
TITLE: 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine for treating fungal dermatitis
INVENTOR(S): Tran, Pierre V.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Tran, Pierre V.
SOURCE: PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9723221	A1	19970703	WO 1996-US20048	19961216
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2240836	AA	19970703	CA 1996-2240836	19961216
AU 9713353	A1	19970717	AU 1997-13353	19961216
JP 2000502346	T2	20000229	JP 1997-523755	19961216
EP 783890	A1	19970716	EP 1996-309201	19961217
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				

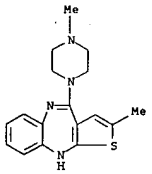
PRIORITY APPLN. INFO.: US 1995-8987P P 19951221
WO 1996-US20048 W 19961216

AB A method for treating fungal dermatitis comprises administering an effective amt. of 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (I) to a patient in need thereof. The effectiveness of I was shown in a clin. trial. Prepn. of I is described. A tablet formulation is included.

IT **132539-06-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(thienobenzodiazepine deriv. for fungal dermatitis treatment)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 46 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L13 ANSWER 47 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:443204 CAPLUS
DOCUMENT NUMBER: 127:70845
TITLE: Antiemetic pharmaceutical compositions containing olanzapine
INVENTOR(S): Van Tran, Pierre
PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA
SOURCE: Brit. UK Pat. Appl., 19 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

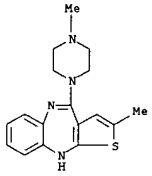
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2305860	A1	19970423	GB 1996-6618	19960329

PRIORITY APPLN. INFO.: GB 1996-6618 19960329

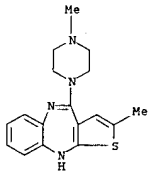
AB Antiemetic pharmaceutical compns. contg. olanzapine (I) are useful in the treatment of emesis, particularly related to chemotherapy. Thus, 270 g sample of tech. grade I (prepn. given) was suspended in 2.7 L anhyd. Et acetate and heated at 76.degree. for 30 min. The mixt was allowed to cool to 25.degree. and the resulting product was isolated and identified as form II using X-ray powder anal. Formulation of I tablets are disclosed.

IT **132539-06-1P**, Olanzapine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(antiemetic pharmaceutical compns. contg. olanzapine)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-(9CI) (CA INDEX NAME)



L13 ANSWER 48 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L13 ANSWER 48 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:429702 CAPLUS
DOCUMENT NUMBER: 127:130454
TITLE: Structural features associated with reactive metabolite formation in clozapine analogs
AUTHOR(S): Uetrecht, Jack; Zahid, Nasir; Tehim, Ashik; Fu, J. Mim; Rakhit, Suman
CORPORATE SOURCE: Faculty Pharmacy Medicine, University Toronto, Toronto, ON, Can.
SOURCE: Chemico-Biological Interactions (1997), 104(2,3), 117-129
CODEN: CBINA8; ISSN: 0009-2797
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Clozapine is assocd. with a high incidence of agranulocytosis. We had previously found that it is oxidized by granulocytes, or simply HOCl, to a reactive metabolite that irreversibly binds to the cells, and we proposed that this reactive metabolite is responsible for clozapine-induced agranulocytosis. The reactive metabolite appeared to be a nitrenium ion formed by chlorination of the nitrogen bridge between the two arom. rings. If this is correct, analogs that contain this structural feature should also be oxidized to a reactive intermediate while those not possessing this feature would, at least, not form the same type of reactive intermediate and, therefore, may not induce agranulocytosis. We tested the first part of this hypothesis, with three clozapine analogs that do contain a nitrogen bridge and three that do not. Consistent with the hypothesis, the three analogs that do contain the nitrogen bridge formed reactive intermediates that could be trapped with glutathione when oxidized by HOCl, myeloperoxidase or activated neutrophils. In contrast, we found no evidence of a reactive intermediate on oxidn. of analogs that contained an oxygen or sulfur bridge rather than a nitrogen bridge. If such reactive metabolites are responsible for drug-induced agranulocytosis, it should be possible to use such a simple screening method to test drugs at an early stage in their development for the potential to induce agranulocytosis.

IT **132539-06-1**, Olanzapine
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(structural features assocd. with reactive metabolite formation in clozapine analogs in relation to agranulocytosis)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-(9CI) (CA INDEX NAME)

L13 ANSWER 49 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:403057 CAPLUS
DOCUMENT NUMBER: 127:13469
TITLE: Olanzapine for treatment of obsessive-compulsive disorder
INVENTOR(S): Beasley, Charles Merritt, Jr.; Tollefson, Gary Dennis
PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA
SOURCE: Brit. UK Pat. Appl., 18 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

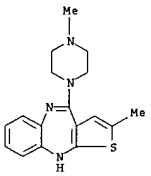
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2305859	A1	19970423	GB 1996-6614	19960329

PRIORITY APPLN. INFO.: GB 1996-6614 19960329

AB Olanzapine is useful in the treatment of obsessive-compulsive disorder. The olanzapine may be the form II olanzapine **polymorph**. Prepn. of the **polymorph** is described. Prepn. of a tablet formulation is also included.

IT **132539-06-1**, Olanzapine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(olanzapine for treatment of obsessive-compulsive disorder)

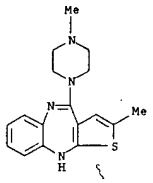
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-(9CI) (CA INDEX NAME)



IT **132539-06-1D**, Olanzapine, form II **polymorph**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(olanzapine **polymorph** for treatment of obsessive-compulsive disorder)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-(9CI) (CA INDEX NAME)

L13 ANSWER 49 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L13 ANSWER 50 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:332391 CAPLUS
DOCUMENT NUMBER: 126:308810
TITLE: Pharmaceutical compositions for treating a tic disorder
INVENTOR(S): Beasley, Charles M., Jr.
PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA; Beasley, Charles M., Jr.
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9711700	A1	19970403	WO 1996-US14090	19960827
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM				
CA 2232559	AA	19970403	CA 1996-2232559	19960827
AU 9670131	A1	19970417	AU 1996-70131	19960827
EP 852496	A1	19980715	EP 1996-931453	19960827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11512705	T2	19991102	JP 1996-513436	19960827
US 6274636	B1	20010814	US 1999-242418	19990216

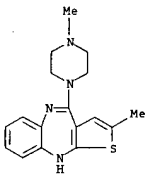
PRIORITY APPLN. INFO.: US 1995-5176P P 19950929
WO 1996-US14090 W 19960827

AB A pharmaceutical compn. for treating a tic disorder comprise administering an effective amt. of 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (prepn. given) (I). A tablet contained I 10.0, magnesium stearate 0.9, microcryst. cellulose 75.0, povidone 25.0, and starch 204.1 mg.

IT **132539-06-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(pharmaceutical compns. for treating tic disorder)

RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 50 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



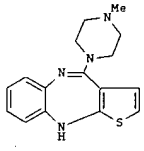
L13 ANSWER 51 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:324780 CAPLUS
DOCUMENT NUMBER: 127:5106
TITLE: Preparation of 2-methylthienobenzodiazepine as central nervous system agent.
INVENTOR(S): Chakrabarti, Jiban K.; Hotten, Terrence M.; Tupper, David E.
PATENT ASSIGNEE(S): Lilly Industries Ltd., UK
SOURCE: U.S., 11 pp., Cont.-in-part of U.S. Ser. No. 44,844, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5627178	A	19970506	US 1995-387997	19950213
US 5229382	A	19930720	US 1992-890348	19920522
US 5817655	A	19981006	US 1996-748292	19961113
US 6008216	A	19991228	US 1998-122294	19980724

PRIORITY APPLN. INFO.: US 1991-690143 19910423
US 1992-890348 19920522
US 1993-44844 19930408
GB 1990-9229 19900425
US 1995-387997 19950213
US 1996-748292 19961113

GI

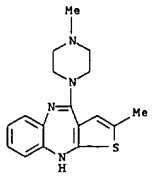


I

AB 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno-[2,3-b][1,5]benzodiazepine (I), or an acid salt thereof, has pharmaceutical properties, and is of particular use in the treatment of disorders of the central nervous system. Compd. I is used in the treatment of schizophrenia, catatonic, delusional disorder, brief reactive psychosis, manic depression, anxiety disorder, post-traumatic stress disorder, obsessive compulsive disorder, delusions, hallucinations, and disorganized behavior. Thus, 4.3g of 4-amino-2-methyl-10H-thieno[2,3-b]benzodiazepine hydrochloride (prepn. given) was refluxed in a mixt. of 15 mL of N-methylpiperazine, DMSO, and toluene for 20 h to give 1.65g I. Formulations contg. I were described.

IT **132539-06-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(prepn. of 2-methyl-thieno-benzodiazepine as central nervous system agent)

L13 ANSWER 51 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-(9CI) (CA INDEX NAME)



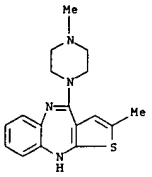
L13 ANSWER 52 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:169158 CAPLUS
DOCUMENT NUMBER: 126:242879
TITLE: Olanzapine for the treatment of psychological conditions
INVENTOR(S): Beasley, Charles M., Jr.; Chakrabarti, Jiban K.; Hotten, Terrence M.; Tupper, David E.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Lilly Industries Ltd.
SOURCE: U.S., 10 pp., Cont.-in-part of U.S. Ser. No. 44,844, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5605897	A	19970225	US 1995-387498	19950213
US 5229382	A	19930720	US 1992-890348	19920522
US 5817656	A	19981006	US 1996-748293	19961113
US 5817657	A	19981006	US 1996-748294	19961113

PRIORITY APPLN. INFO.: US 1991-690143 19910423
US 1992-890348 19920522
US 1993-44844 19930408
GB 1990-9229 19900425
US 1995-387498 19950213

AB Olanzapine (I) or an acid salt thereof, is of particular use in the relatively safe and effective treatment of a wide range of disorders of the central nervous system. I is an antagonist of dopamine at D-1 and D-2 receptors and in addn. has antimuscarinic anticholinergic properties and antagonist activity at 5HT-2 receptor sites and at noradrenergic .alpha.-receptors. These properties indicate that I is a potential neuroleptic with relaxant, anxiolytic, and anti-emetic properties. Formulations for tablets, capsules, and injections contg. I are provided. Clin. studies showed successful results for treatment of schizophrenic patients.
IT **132539-06-1P**, Olanzapine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(olanzapine for treatment of CNS disorders)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-(9CI) (CA INDEX NAME)

L13 ANSWER 52 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

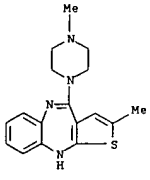


L13 ANSWER 53 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:713012 CAPLUS
DOCUMENT NUMBER: 125:317310
TITLE: Method for determining the responsiveness of individuals to 5-HT2 receptor-modulating agents
INVENTOR(S): Kerwin, Robert; Collier, David; Roberts, Gareth Wyn
PATENT ASSIGNEE(S): Smithkline Beecham Plc, UK
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9631621	A2	19961010	WO 1996-EP1437	19960401
WO 9631621	A3	19961205		
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
AU 9654991	A1	19961023	AU 1996-54991	19960401
JP 11503018	T2	19990323	JP 1996-529970	19960401
ZA 9602716	A	19970122	ZA 1996-2716	19960404

PRIORITY APPLN. INFO.: GB 1995-7230 A 19950407
WO 1996-EP1437 W 19960401

AB A method is disclosed for assessing whether a subject is likely to be responsive to treatment with a therapeutic agent which acts at a 5-HT2 receptor. The methodol. involves detection of the presence or absence of DNA encoding the S68 allele and/or the C68 allele of the 5-HT2C gene.
IT **132539-06-1**, Olanzapine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(C68/S68 allele of 5-HT2C gene detection in 5-HT2 receptor-modulating agent responsiveness detn. for humans treatable with 5-HT2 receptor-modulating agents)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-(9CI) (CA INDEX NAME)



L13 ANSWER 54 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:689366 CAPLUS
DOCUMENT NUMBER: 125:309062
TITLE: Olanzapine for treatment of dyskinesias
INVENTOR(S): Beasley, Charles Merrit, Jr.
PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA
SOURCE: Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 738514	A1	19961023	EP 1996-302711	19960418
EP 738514	B1	20030827		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5776928	A	19980707	US 1995-422177	19950421
CA 2219902	AA	19961205	CA 1995-2219902	19950530
WO 9638151	A1	19961205	WO 1995-US6859	19950530
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9526936	A1	19961218	AU 1995-26936	19950530
AU 707858	B2	19990722		
EP 828494	A1	19980318	EP 1995-922148	19950530
EP 828494	B1	20020717		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV				
CN 1185108	A	19980617	CN 1995-197876	19950530
HU 77907	A2	19981028	HU 1998-1173	19950530
JP 11506096	T2	19990602	JP 1995-536420	19950530
RU 2176914	C2	20011220	RU 1997-122082	19950530
AT 220550	E	20020815	AT 1995-922148	19950530
ES 2180643	T3	20030216	ES 1995-922148	19950530
CA 2218062	AA	19961024	CA 1996-2218062	19960418
WO 9632948	A1	19961024	WO 1996-US5390	19960418
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9655555	A1	19961107	AU 1996-55555	19960418
ZA 9603098	A	19971020	ZA 1996-3098	19960418
JP 11504014	T2	19990406	JP 1996-531914	19960418
IL 117971	A1	19991231	IL 1996-117971	19960418
AT 247966	E	20030915	AT 1996-302711	19960418
NO 9704766	A	19971209	NO 1997-4766	19971015
FI 9703987	A	19971017	FI 1997-3987	19971017
US 2002177590	A1	20021128	US 1997-952918	19971125

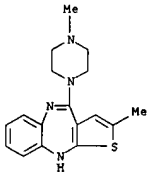
L13 ANSWER 54 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
US 6506746 B2 20030114
PRIORITY APPLN. INFO.: US 1995-422177 A 19950421
EP 1995-922148 A 19950530
WO 1995-US6859 W 19950530
WO 1996-US5390 W 19960418

AB Use of 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (olanzapine) or a pharmaceutically acceptable salt thereof, for the manuf. of a medicament for treating a dyskinesia, is disclosed. Oral and injection formulations are provided.

IT **132539-06-1P**, Olanzapine
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(olanzapine for treatment of dyskinesias)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



L13 ANSWER 55 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:679179 CAPLUS
DOCUMENT NUMBER: 125:309063
TITLE: Olanzapine for treatment of nicotine withdrawal syndromes
INVENTOR(S): Rasmussen, Kurt
PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA
SOURCE: Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 738515	A1	19961023	EP 1996-302712	19960418
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5696115	A	19971209	US 1995-422202	19950421
CA 2218019	AA	19961024	CA 1996-2218019	19960418
WO 9632947	A1	19961024	WO 1996-US5379	19960418
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9655547	A1	19961107	AU 1996-55547	19960418
ZA 9603108	A	19971020	ZA 1996-3108	19960418
JP 11504012	T2	19990406	JP 1996-531909	19960418
IL 117970	A1	19991222	IL 1996-117970	19960418
TW 429149	B	20010411	TW 1996-85104731	19960420

PRIORITY APPLN. INFO.: US 1995-422202 A 19950421
WO 1996-US5379 W 19960418

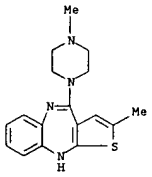
AB Use of 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (olanzapine) or a pharmaceutically acceptable salt thereof, for the manuf. of a medicament for treating a condition resulting from the cessation and withdrawal from the use of nicotine, is disclosed. Formulations contg. olanzapine for oral and i.m. administration, are provided.

IT **132539-06-1P**, Olanzapine
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(olanzapine for treatment of nicotine withdrawal syndromes)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

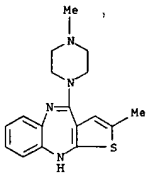
L13 ANSWER 55 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L13 ANSWER 56 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:660927 CAPLUS
DOCUMENT NUMBER: 125:284961
TITLE: Granule formulation for olanzapine
INVENTOR(S): Lange, Hans Joerg
PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA
SOURCE: Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 733368	A1	19960925	EP 1996-301998	19960322
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
PRIORITY APPLN. INFO.:			US 1995-410265	19950324
			US 1995-426343	19950421

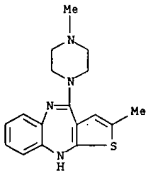
AB The invention provides a pharmaceutically elegant granule formulation of olanzapine and a process for providing a pharmaceutically acceptable liq. formulation of olanzapine. The solid granule formulation comprises olanzapine as an active ingredient, mannitol, hydroxypropyl Me cellulose, and a pharmaceutically acceptable surfactant, provided that the size of the granules is such that not more than 5% are greater than 500 .mu.m and not more than 10% are less than 75 .mu.m. Granules were prepd. and packaged in a sachet to have ingredients of olanzapine 2.5, D-mannitol 234.97, hydroxypropyl Me cellulose 12.5, and Polysorbate 20 0.028 mg. The granules can be dissolved in an acidic mineral water or juice.
IT **132539-06-1P**, Olanzapine
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(granule formulation for olanzapine)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



L13 ANSWER 57 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:660926 CAPLUS
DOCUMENT NUMBER: 125:284960
TITLE: Oral olanzapine formulation
INVENTOR(S): Cochran, George Randall; Morris, Tommy Clifford
PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 733367	A1	19960925	EP 1996-301997	19960322
EP 733367	B1	20011017		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2216372	AA	19961003	CA 1996-2216372	19960322
WO 9629995	A1	19961003	WO 1996-US3918	19960322
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9654280	A1	19961016	AU 1996-54280	19960322
AU 696601	B2	19980917		
ZA 9602338	A	19970922	ZA 1996-2338	19960322
GB 2313783	A1	19971210	GB 1997-19817	19960322
GB 2313783	B2	19981118		
DE 19681287	T	19980319	DE 1996-19681287	19960322
CN 1179102	A	19980415	CN 1996-192778	19960322
BR 9607791	A	19980707	BR 1996-7791	19960322
AT 9609022	A	19990215	AT 1996-9022	19960322
AT 405606	B	19991025		
JP 11502848	T2	19990309	JP 1996-529533	19960322
TW 426526	B	20010321	TW 1996-85103453	19960322
EP 1093815	A1	20010425	EP 2000-204708	19960322
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
CH 691217	A	20010531	CH 1997-2246	19960322
AT 206924	E	20011115	AT 1996-301997	19960322
EE 3551	B1	20011217	EE 1997-328	19960322
ES 2164837	T3	20020301	ES 1996-301997	19960322
IL 117611	A1	20020523	IL 1996-117611	19960322
SE 9703206	A	19970905	SE 1997-3206	19970905
LT 4350	B	19980525	LT 1997-149	19970916
FI 9703749	A	19970922	FI 1997-3749	19970922
NO 9704363	A	19971117	NO 1997-4363	19970922
DK 9701090	A	19971112	DK 1997-1090	19970923
DK 173323	B1	20000724		
LV 11983	B	19980720	LV 1997-199	19971014
PRIORITY APPLN. INFO.:			US 1995-410465 A	19950324
			EP 1996-301997 A3	19960322
			WO 1996-US3918 W	19960322

L13 ANSWER 57 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
AB The invention provides a pharmaceutically elegant solid oral formulation of olanzapine and a process for making such formulation. The formulation comprises olanzapine as an active ingredient intimately mixed with a bulking agent, binder, disintegrant, and a lubricant; wherein such solid oral formulation is coated with a polymer selected from the group consisting of hydroxypropyl Me cellulose, sodium CM-cellulose, hydroxypropyl cellulose, polyvinylpyrrolidone, dimethylaminoethyl methacrylate-Me acrylate copolymer, Et acrylate-Me methacrylate copolymer, Me cellulose, and Et cellulose. A tablet contained olanzapine 1, lactose 67.43, hydroxypropyl cellulose 3.4, Crospovidone 4.25, microcryst. cellulose 8.5, Mg stearate 0.42, hydroxypropyl Me cellulose (as subcoating agent) 1.7, color mixt. (as coating agent) 3.47 mg/tablet, Carnauba wax (as polishing agent) trace, and edible Blue ink (for imprinting) trace.
IT **132539-06-1P**, Olanzapine
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(oral olanzapine formulation)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

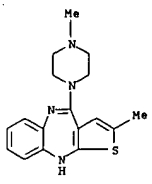


L13 ANSWER 58 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:656468 CAPLUS
DOCUMENT NUMBER: 125:301028
TITLE: Preparation of olanzapine solvates
INVENTOR(S): Bunnell, Charles Arthur; Hendriksen, Barry Arnold; Hotten, Terrence Michael; Larsen, Samuel Dean; Tupper, David Edward
PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA; Lilly Industries Ltd.
SOURCE: Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 733634	A1	19960925	EP 1996-301999	19960322
EP 733634	B1	20001122		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5631250	A	19970520	US 1995-410474	19950324
US 5703232	A	19971230	US 1996-586431	19960116
WO 9630374	A1	19961003	WO 1996-US3854	19960322
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9652578	A1	19961016	AU 1996-52578	19960322
AU 9654279	A1	19961016	AU 1996-54279	19960322
AU 706471	B2	19990617		
GB 2313835	A1	19971210	GB 1997-19819	19960322
GB 2313835	B2	19980916		
DE 19681286	T	19980402	DE 1996-19681286	19960322
BR 9607790	A	19980707	BR 1996-7790	19960322
JP 11502535	T2	19990302	JP 1996-529532	19960322
AT 9609021	A	20000115	AT 1996-9021	19960322
AT 406771	B	20000825		
IL 117613	A1	20000716	IL 1996-117613	19960322
AT 197711	E	20001215	AT 1996-301999	19960322
ES 2151991	T3	20010116	ES 1996-301999	19960322
EE 3489	B1	20010815	EE 1997-232	19960322
PL 183723	B1	20020731	PL 1996-322501	19960322
SE 9703205	A	19970905	SE 1997-3205	19970905
FI 9703750	A	19970922	FI 1997-3750	19970922
NO 9704365	A	19970922	NO 1997-4365	19970922
DK 9701089	A	19971112	DK 1997-1089	19970923
PRIORITY APPLN. INFO.:			US 1995-409566 A	19950324
			US 1995-410474 A	19950324
			WO 1996-US3854 W	19960322
			WO 1996-US3917 W	19960322

AB The invention provides MeOH, EtOH, and PrOH solvates of olanzapine with improved properties characterized by x-ray spectra.
IT **132539-06-1P**, Olanzapine
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(prepn. of olanzapine solvates)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-

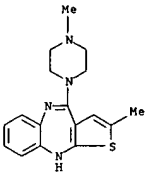
L13 ANSWER 58 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(9CI) (CA INDEX NAME)



IT **182808-49-7P 182808-50-0P 182808-51-1P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. of olanzapine solvates)
RN 182808-49-7 CAPLUS
CN Methanol, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 132539-06-1
CMF C17 H20 N4 S

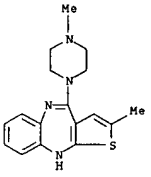


CM 2

CRN 67-56-1
CMF C H4 O

H_3C-OH

L13 ANSWER 58 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

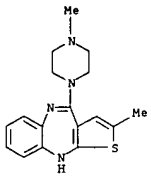
CRN 71-23-8
CMF C3 H8 O

$H_3C-CH_2-CH_2-OH$

L13 ANSWER 58 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 182808-50-0 CAPLUS
CN Ethanol, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 132539-06-1
CMF C17 H20 N4 S



CM 2

CRN 64-17-5
CMF C2 H6 O

H_3C-CH_2-OH

RN 182808-51-1 CAPLUS
CN 1-Propanol, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 132539-06-1
CMF C17 H20 N4 S

L13 ANSWER 59 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:644040 CAPLUS
DOCUMENT NUMBER: 125:275918
TITLE: Preparation of crystalline olanzapine
INVENTOR(S): Bunnell, Charles Arthur; Hendriksen, Barry Arnold; Larsen, Samuel Dean
PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA; Lilly Industries Ltd.
SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 733635	A1	19960925	EP 1996-302000	19960322
EP 733635	B1	20010816		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2214005	AA	19961003	CA 1996-2214005	19960322
CA 2214005	C	20010703		
WO 9630375	A1	19961003	WO 1996-US3917	19960322
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9652578	A1	19961016	AU 1996-52578	19960322
AU 9654279	A1	19961016	AU 1996-54279	19960322
AU 706471	B2	19990617		
ZA 9602342	A	19970922	ZA 1996-2342	19960322
ZA 9602344	A	19970922	ZA 1996-2344	19960322
GB 2313835	A1	19971210	GB 1997-19819	19960322
GB 2313835	B2	19980916		
DE 19681286	T	19980402	DE 1996-19681286	19960322
CN 1179160	A	19980415	CN 1996-192775	19960322
CN 1065536	B	20010509		
BR 9607790	A	19980707	BR 1996-7790	19960322
JP 11502535	T2	19990302	JP 1996-529532	19960322
AT 9609021	A	20000115	AT 1996-9021	19960322
AT 406771	B	20000825		
AP 828	A	20000428	AP 1997-1065	19960322
W: KE, LS, MW, SD, SZ, UG				
CH 690579	A	20001031	CH 1997-2245	19960322
EP 1095941	A1	20010502	EP 2000-203573	19960322
EP 1095941	B1	20031008		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
TW 442488	B	20010623	TW 1996-85103500	19960322
EE 3489	B1	20010815	EE 1997-232	19960322
IL 117610	A1	20010826	IL 1996-117610	19960322
AT 204280	E	20010915	AT 1996-302000	19960322
ES 2159346	T3	20011001	ES 1996-302000	19960322
PL 183723	B1	20020731	PL 1996-322501	19960322
TW 513432	B	20021211	TW 1996-85103499	19960322
SE 9703205	A	19970905	SE 1997-3205	19970905
LV 12018	B	19980920	LV 1997-163	19970908
LT 4349	B	19980525	LT 1997-148	19970916
FI 9703750	A	19970922	FI 1997-3750	19970922

L13 ANSWER 59 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

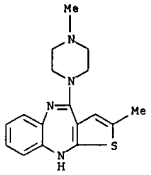
NO 9704365	A	19970922	NO 1997-4365		19970922
DK 9701089	A	19971112	DK 1997-1089		19970923
HK 1013988	A1	20020705	HK 1998-115175		19981223
PRIORITY APPLN. INFO.:			US 1995-409566	A	19950324
			US 1995-410474	A	19950324
			EP 1996-302000	A3	19960322
			WO 1996-US3854	W	19960322
			WO 1996-US3917	W	19960322

AB The invention provides a pharmaceutically elegant stable **polymorph** of olanzapine by pptn. from EtOAc.

IT **132539-06-1P**, Olanzapine
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. of **cryst.** olanzapine)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



L13 ANSWER 60 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:465597 CAPLUS
DOCUMENT NUMBER: 121:65597
TITLE: Sustained-release microsphere containing antipsychotic and process for producing the same
INVENTOR(S): Kino, Shigemi; Osajima, Tomonori; Mizuta, Hiroaki
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9410982	A1	19940526	WO 1993-JP1673	19931115
W: CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2148823	AA	19940526	CA 1993-2148823	19931115
CA 2148823	C	19990309		
EP 669128	A1	19950830	EP 1993-924827	19931115
EP 669128	B1	20000105		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 188375	E	20000115	AT 1993-924827	19931115
ES 2077547	T3	20000616	ES 1993-924827	19931115
US 5656299	A	19970812	US 1995-443021	19950517
US 5871778	A	19990216	US 1997-812544	19970307

PRIORITY APPLN. INFO.:	JP 1992-332441	A	19921117
	WO 1993-JP1673	W	19931115
	US 1995-443021	A3	19950517

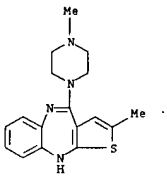
AB A sustained-release microsphere produced by enclosing a hydrophobic antipsychotic such as bromperidol or haloperidol in a base comprising a biocompatible polymer such as polylactic acid or a lactic acid/glycolic acid copolymer. It can exhibit a desired pharmacol. effect, where a long-term administration is necessary, by injecting once every 1 to 8 wk instead of every day. As a result, a remarkable improvement can be expected in the compliance during maintenance therapy. In addn., the use of the biocompatible polymer serves to entirely dispense with surgical operations such as implantation, facilitates hypodermic and i.m. injection just like the case of suspending injection, and can dispense with the withdrawal of the microsphere. Furthermore, the microsphere can be administered with little aversion and pain.

IT **132539-06-1P**, Olanzapine
RL: **PREP (Preparation)**
(Sustained-release microspheres, manuf. of, biocompatible polymers in)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 60 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L13 ANSWER 61 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1992:83703 CAPLUS
DOCUMENT NUMBER: 116:83703
TITLE: Preparation of 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno-[2,3-b][1,5]benzodiazepine
INVENTOR(S): Chakrabarti, Jiban Kumar; Hotten, Terrence Michael; Tupper, David Edward
PATENT ASSIGNEE(S): Lilly Industries Ltd., UK
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 454436	A1	19911030	EP 1991-303679	19910424
EP 454436	B1	19950913		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AU 9175186	A1	19911107	AU 1991-75186	19910422
AU 643267	B2	19931111		
IL 97912	A1	19951031	IL 1991-97912	19910422
IL 112575	A1	19990817	IL 1991-112575	19910422
FI 9101986	A	19911026	FI 1991-1986	19910424
CA 2041113	AA	19911026	CA 1991-2041113	19910424
CA 2041113	C	19980714		
NO 9101624	A	19911028	NO 1991-1624	19910424
NO 178766	B	19960219		
NO 178766	C	19960529		
CN 1056693	A	19911204	CN 1991-103346	19910424
CN 1028429	B	19950517		
HU 60503	A2	19920928	HU 1991-1372	19910424
HU 212416	B	19960628		
ZA 9103085	A	19921230	ZA 1991-3085	19910424
JP 07089965	A2	19950404	JP 1991-228215	19910424
JP 2527860	B2	19960828		
CZ 279937	B6	19950913	CZ 1991-1168	19910424
ES 2078440	T3	19951216	ES 1991-303679	19910424
SK 279196	B6	19980708	SK 1991-1168	19910424
RU 2043992	C1	19950920	RU 1992-5052762	19920925
LV 10262	B	19950420	LV 1993-517	19930608
FI 9701316	A	19970327	FI 1997-1316	19970327

PRIORITY APPLN. INFO.:	GB 1990-9229		19900425
	IL 1991-97912		19910422
	FI 1991-1986		19910424

OTHER SOURCE(S): MARPAT 116:83703

AB Title compd. (I) useful for treatment of a disorder of the central nervous system (no data) was prepd. 4-Amino-2-methyl-10H-thieno[2,3-b][1,5]benzodiazepine-HCl (prepn. given) was refluxed in N-methylpiperazine, DMSO and MePh, under N atm. for 20 h to give I. Pharmaceutical formulations contg. I are given.

IT **132539-06-1P**
RL: SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. of, as nervous system agent)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

olanzapine

L13 ANSWER 61 OF 61 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)